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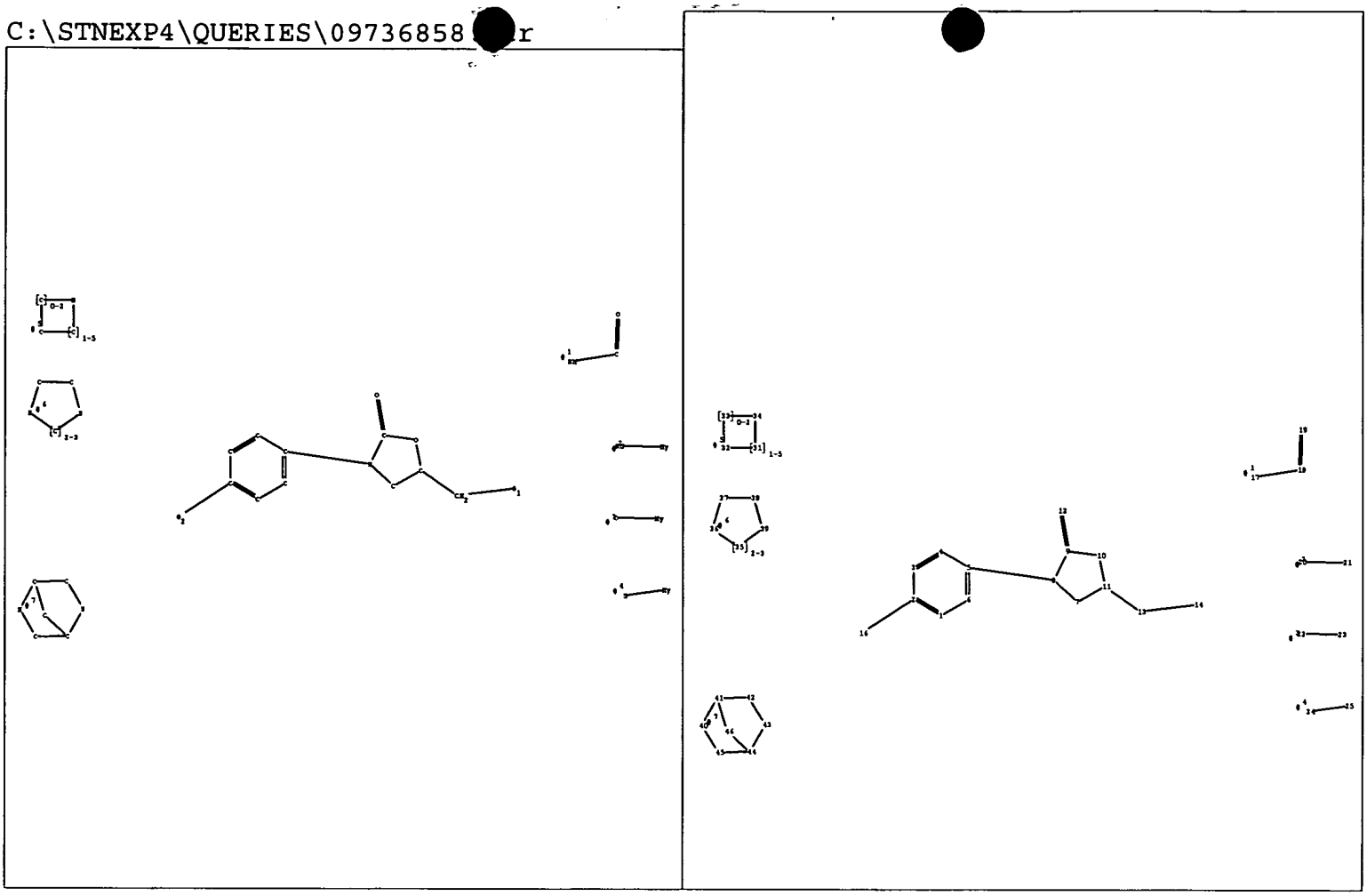

chain nodes :
12 13 14 16 17 18 19 20 21 22 23 24 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 31 32 33 34 35 36 37 38 39 40
41 42 43 44 45 46
chain bonds :
2-16 5-8 9-12 11-13 13-14 17-18 18-19 20-21 22-23 24-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 31-32 31-34
32-33 33-34 35-36 35-39 36-37 37-38 38-39 40-41 40-45 41-42
41-46 42-43 43-44 44-45 44-46
exact/norm bonds :
2-16 5-8 7-8 8-9 9-12 13-14 17-18 18-19 20-21 22-23 24-25
31-32 31-34 32-33 33-34 35-36 35-39 36-37 37-38 38-39 40-41
40-45 41-42 41-46 42-43 43-44 44-45 44-46
exact bonds :
7-11 9-10 10-11 11-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 7 :

G1:[*1],[*2],[*3],[*4]

G2:[*5],[*6],[*7]

Match level :

1:Atom 2:Atom 3:Atom [redacted]Atom 5:Atom 6:Atom 7:A[redacted]m 8:Atom 9:Atom
10:Atom 11:Atom 12:CLASS 13:CLASS 14:CLASS 16:Atom 17:CLASS
18:CLASS 19:CLASS 20:CLASS 21:Atom 22:CLASS 23:Atom 24:CLASS
25:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom
38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom
46:Atom

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     FILE 'REGISTRY' ENTERED AT 21:25:53 ON 21 APR 2002
L1               STRUCTURE UPLOADED
L2               QUE L1
L3            50 S L2

     FILE 'STNGUIDE' ENTERED AT 21:26:19 ON 21 APR 2002

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L4               STRUCTURE UPLOADED
L5               QUE L4
L6             3 S L5
L7          1889 S L2 SSS FUL
L8            53 S L5  SUB=L7 FUL

     FILE 'CAPLUS' ENTERED AT 21:30:19 ON 21 APR 2002
L9            27 S L8

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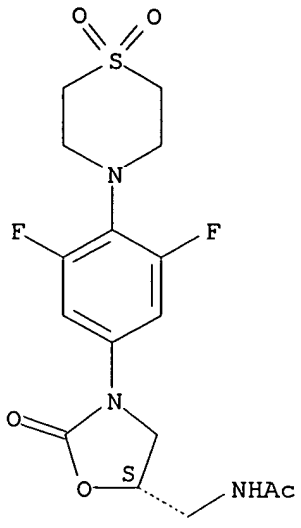
L8 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2002:157609 CAPLUS
DN 136:205434
TI Solution composition of an oxazolidinone antibiotic drug having enhanced drug loading
IN Sims, Sandra M.
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002015940 | A2 | 20020228 | WO 2001-US25932 | 20010820 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-226846P P 20000822
US 2001-285347P P 20010420
OS MARPAT 136:205434
AB There is provided a pharmaceutical compn. for therapeutic or prophylactic administration to a subject having an infective disease or condition or at risk thereof. The compn. comprises an aq. carrier having in soln. therein (a) an oxazolidinone antimicrobial drug, for example linezolid, in a therapeutically or prophylactically effective drug concn. that is above the practical limit of soly. of the drug in a substantially isotonic aq. soln. at a physiol. compatible pH, and (b) a pharmaceutically acceptable cyclodextrin compd. in a concn. sufficient to maintain the drug in soln. at such a drug concn. The compn. is particularly useful for i.v. delivery of the drug. Solns. were prepd. contg. linezolid and sulfobutyl ether of .beta.-cyclodextrin.
IT **383199-88-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(soln. compn. of an oxazolidinone antibiotic drug having enhanced drug loading)
RN 383199-88-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.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L9 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2002:31261 CAPLUS
DN 136:79735
TI Method using an oxazolidinone antibacterial agent, alone or with an exogenous lactoferrin, for treatment and prevention of mastitis
IN Watts, Jeffrey L.; Sanchez, Margaret S.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002002121 | A2 | 20020110 | WO 2001-US16496 | 20010625 |

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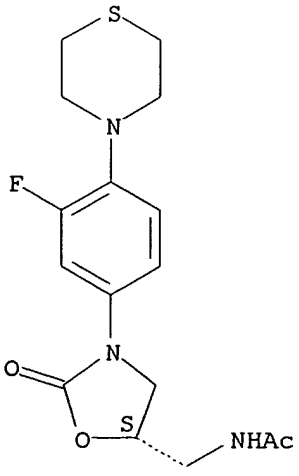
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-215900P P 20000705
AB A method is provided for treatment or prevention of mastitis in mammals with known oxazolidinone antibacterial agents, either alone or in combination with exogenous lactoferrins.
IT **168828-58-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oxazolidinone antibacterial agent, alone or with exogenous lactoferrin, for treatment and prevention of mastitis)
RN 168828-58-8 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2002:31236 CAPLUS
DN 136:79733
TI Antibacterial compositions and methods for treating bacterial infections
IN Batts, Donald H.; Hiramatsu, Keiichi
PA Pharmacia + Upjohn, USA
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002002095 | A2 | 20020110 | WO 2001-US19712 | 20010621 |
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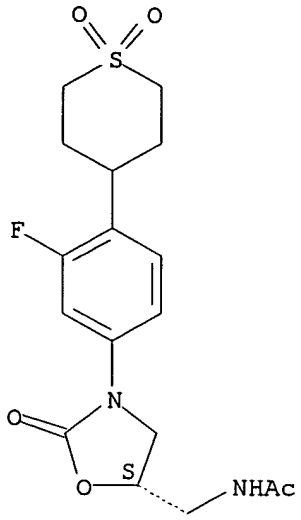
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2002022610 | A1 | 20020221 | US 2001-886641 | 20010621 |
| PRAI | US 2000-215418P | P | 20000630 | | |
| | US 2000-232773P | P | 20000915 | | |
| | US 2001-279306P | P | 20010328 | | |

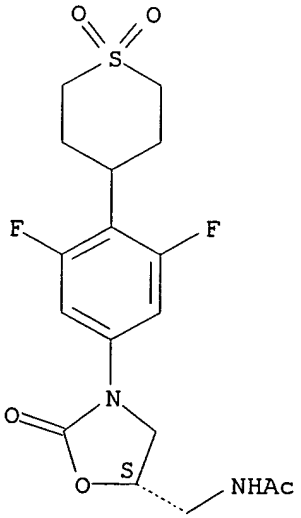
OS MARPAT 136:79733
AB A compn. having antibacterial activity is disclosed. More particularly, a mixt. of an oxazolidinone compd., sulbactam, and ampicillin active agents, demonstrating activity against resistant strains of bacteria is disclosed. Methods for using an oxazolidinone compd., sulbactam, and ampicillin to treat a bacterial infection are also described. Synergistic activity of linezolid with sulbactam and ampicillin against Staphylococcus aureus was shown.
IT **188974-61-0 387822-20-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibacterial compns. and methods for treating bacterial infections)
RN 188974-61-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 387822-20-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[3,5-difluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2001:935600 CAPLUS
DN 136:69815
TI Preparation and formulation of N-[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide as a gram positive bactericide
IN Barbachyn, Michael R.; Zurenko, Gary E.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2001098297 | A2 | 20011227 | WO 2001-US14854 | 20010614 |

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

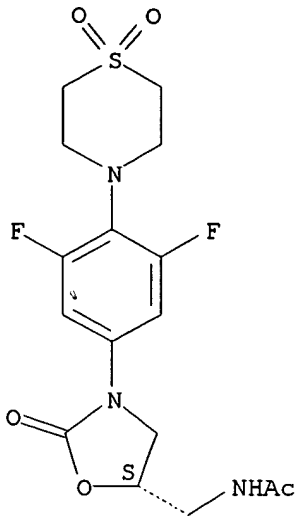
PRAI US 2000-212474P P 20000616
US 2000-236595P P 20000929
US 2001-285587P P 20010420

AB The title compd. (I) was prepd. Thus, benzyl 3,5-difluoro-4-(4-thiomorpholinyl)phenylcarbamate (prepn. given) was cyclocondensed with (S)-N-(2-acetyloxy-3-chloropropyl)acetamide and the product oxidized to give I. Data for biol. activity of I were given.

IT **383199-88-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of N-[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide as a gram pos. bactericide)

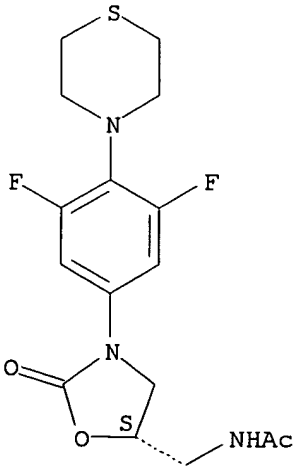
RN 383199-88-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **383199-87-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and formulation of N-[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide as a gram pos. bactericide)
RN 383199-87-9 CAPLUS
CN Acetamide, N-[[(5S)-3-[3,5-difluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2001:869016 CAPLUS
DN 136:699
TI Treatment of urinary tract infections with antibacterial oxazolidinones
IN Batts, Donald Herman
PA USA
SO U.S. Pat. Appl. Publ., 7 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

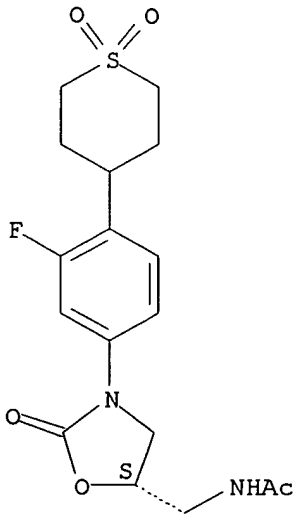
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2001046992 | A1 | 20011129 | US 2001-809447 | 20010315 |
| PRAI US 2000-190961P | P | 20000322 | | |

AB A method is provided for treating a warm-blooded mammal having a urinary tract infection caused by a Gram-pos. organism, which comprises administering a urinary therapeutically effective amt. of an antibacterial oxazolidinone. Linezolid formulations are included.

IT **188974-61-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oxazolidinones for treatment of urinary tract infections)

RN 188974-61-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L9 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2001:713138 CAPLUS
DN 135:262251
TI Oxazolidinone tablet formulation
IN Lin, Homer; Yamamoto, Ken
PA Pharmacia + Upjohn Company, USA
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001070225 | A2 | 20010927 | WO 2001-US5812 | 20010315 |
| | WO 2001070225 | A3 | 20011213 | | |
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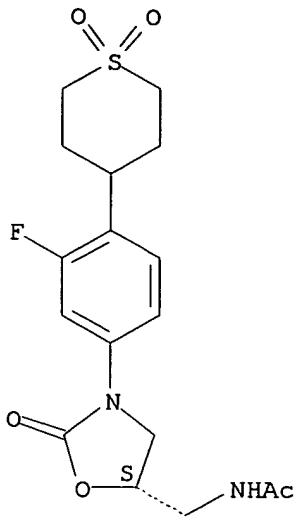
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2001051647 | A1 | 20011213 | US 2001-809696 | 20010315 |
| PRAI | US 2000-190969P | P | 20000322 | | |

AB The present invention provides a compressed tablet of an antibacterial oxazolidinone agent which provides high drug load and excellent bioavailability. A tablet contained linezolid 600.0, corn starch 60.0, microcryst. cellulose 117.6, hydroxypropyl cellulose 12.0, sodium starch glycolate 42.0, magnesium stearate 8.4, Opadry White YS-1 25.2, water 193.9, and carnauba wax 0.0336 mg.

IT **188974-61-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oxazolidinone tablet formulation)

RN 188974-61-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).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L9 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2001:488530 CAPLUS
DN 135:92625
TI Preparation of 5-acylaminomethyloxazolidin-2-ones as Factor Xa inhibitors.
IN Straub, Alexander; Lampe, Thomas; Pohlmann, Jens; Roehrig, Susanne; Perzborn, Elisabeth; Schlemmer, Karl-heinz
PA Bayer A.-G., Germany
SO Ger. Offen., 34 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19962924 | A1 | 20010705 | DE 1999-19962924 | 19991224 |
| WO 2001047919 | A1 | 20010705 | WO 2000-EP12492 | 20001211 |

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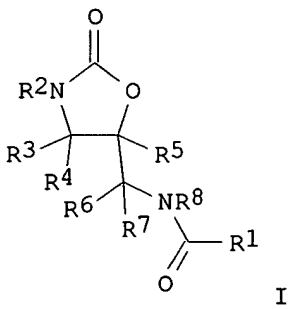
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI DE 1999-19962924 A 19991224
OS MARPAT 135:92625
GI



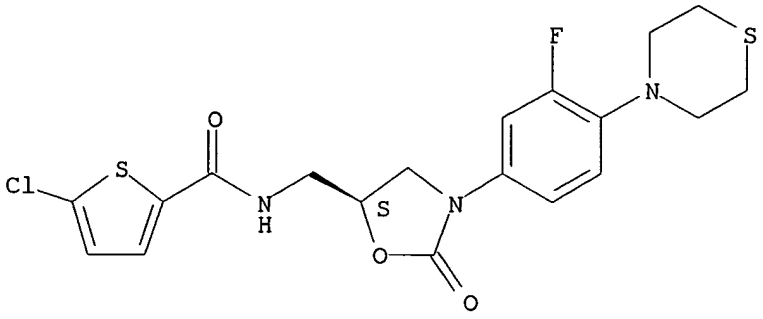
I

AB Title compds. [I; R1 = (substituted) thienyl, benzothienyl; R2 = org. residue; R3-R8 = H, alkyl; with exceptions], were prepd. Thus, (5S)-5-(aminomethyl)-3-(3-fluoro-4-morpholinophenyl)-1,3-oxazolidin-2-one, 5-chlorothiophene-2-carboxylic acid, hydroxybenzotriazole, EDCI, and diisopropylethylamine were stirred overnight in DMF to give 61.5% 5-chloro-N-[[(5S)-3-(3-fluoro-4-morpholinophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl]-2-thiophenecarboxamide. 5-Chloro-N-[[(5S)-2-oxo-3-[4-(2-oxo-1-pyrrolidinyl)phenyl]-1,3-oxazolidin-5-yl]methyl]-2-thiophenecarboxamide (prepn. given) inhibited Factor Xa with IC50 = 4 nM.
IT **348626-09-5P 348626-10-8P 348626-11-9P 348626-20-0P 348626-21-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-acylaminomethyloxazolidin-2-ones as Factor Xa inhibitors)

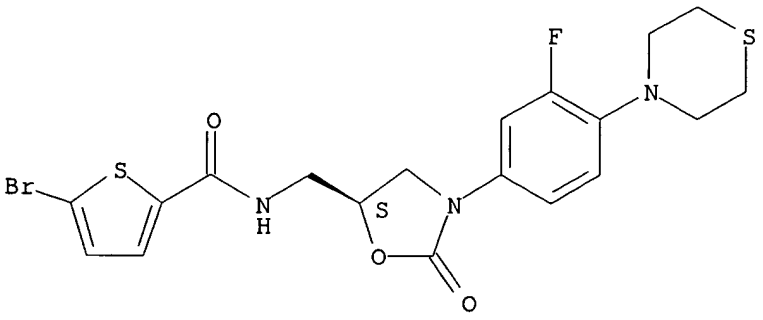
RN 348626-09-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



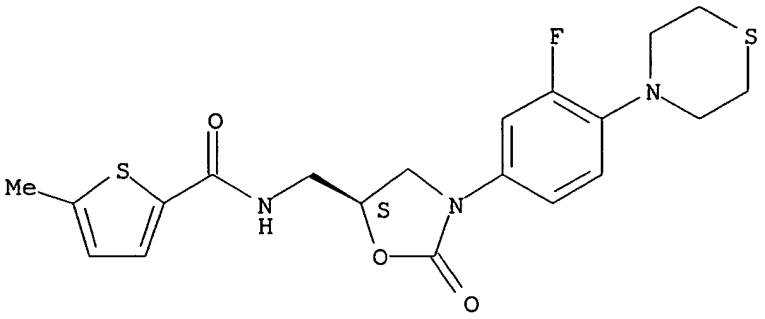
RN 348626-10-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-bromo-N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



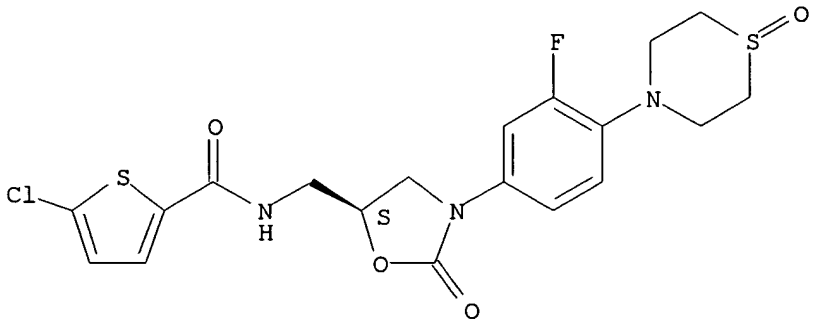
RN 348626-11-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



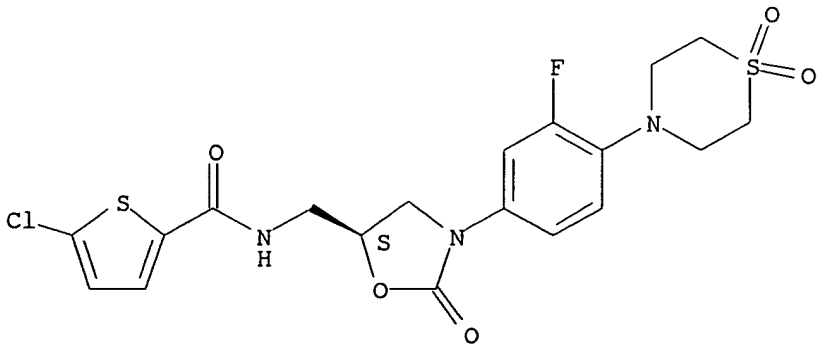
RN 348626-20-0 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[[(5S)-3-[3-fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348626-21-1 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

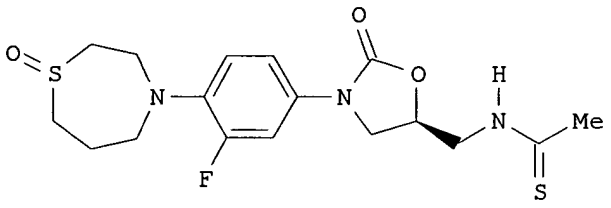
Absolute stereochemistry.



L8 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2001:482178 CAPLUS
DN 135:76881
TI Preparation of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides
IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-Jo
PA Pharmacia & Upjohn Company, USA
SO U.S., 93 pp., Cont.-in-part of U.S. 6,218,413.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 6255304 | B1 | 20010703 | US 1998-200904 | 19981127 |
| | US 6218413 | B1 | 20010417 | US 1998-80751 | 19980518 |
| | US 6362189 | B1 | 20020326 | US 2000-712055 | 20001114 |
| | US 6342513 | B1 | 20020129 | US 2000-713739 | 20001115 |
| | US 2001041728 | A1 | 20011115 | US 2001-822072 | 20010330 |
| | US 2002016323 | A1 | 20020207 | US 2001-822666 | 20010330 |
| PRAI | US 1997-48342P | P | 19970530 | | |
| | US 1998-80751 | A2 | 19980518 | | |
| | US 1998-200904 | A3 | 19981127 | | |

OS MARPAT 135:76881
GI



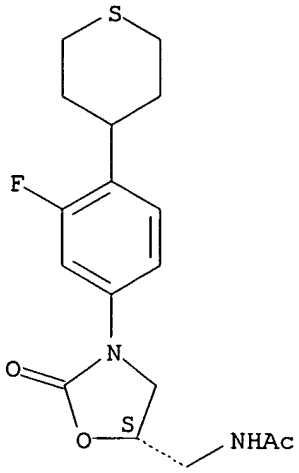
II

AB RZZ1CH2NHCSR1 [I; R = e.g., N-attached-(oxo)thiaazacycloalkyl; R1 = H, (alkyl)amino, alkyl, alkoxy, etc.; Z = e.g., fluorophenylene; Z1 = e.g., 2-oxooxazolidine-3,5-diyl] were prepd. Thus, 1,4-hexahydrothiazepine was N-arylated by 3,4-F2C6H3NO2 and the reduced and N-protected product cyclocondensed with (R)-glycidyl butyrate to give, in 4 addnl. steps, title compd. II. Data for biol. activity of I were given.

IT **226991-66-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides)

RN 226991-66-8 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

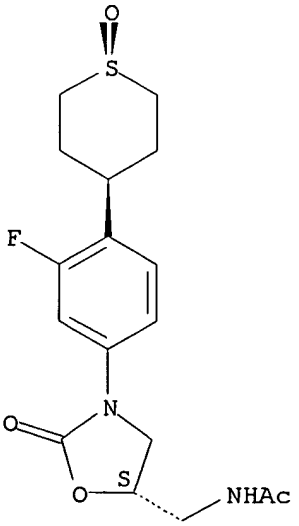



IT **216869-09-9P 216869-12-4P 273377-03-0P**
**273377-04-1P 273377-08-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides)

RN 216869-09-9 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

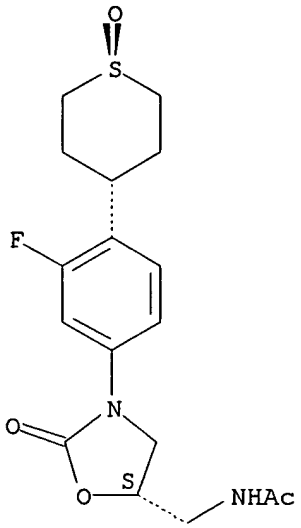
Absolute stereochemistry. Rotation (-).




RN 216869-12-4 CAPLUS

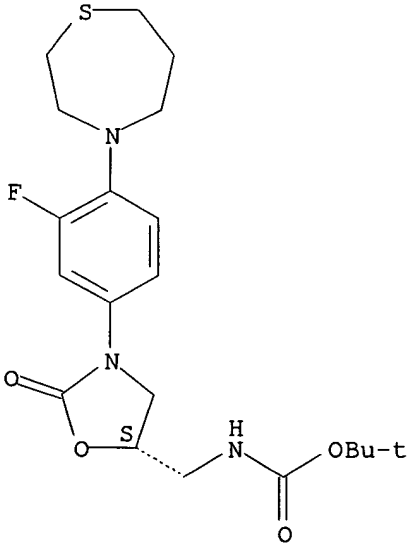
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



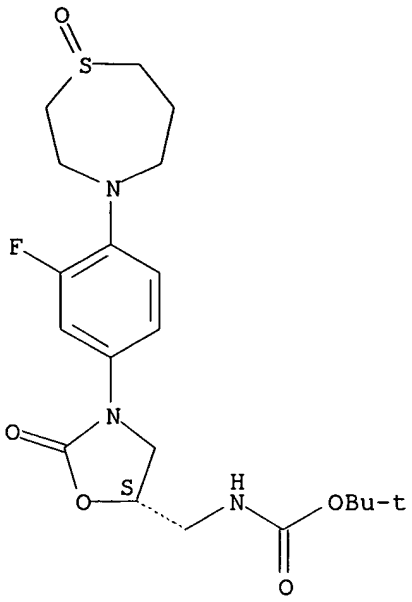
RN 273377-03-0 CAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



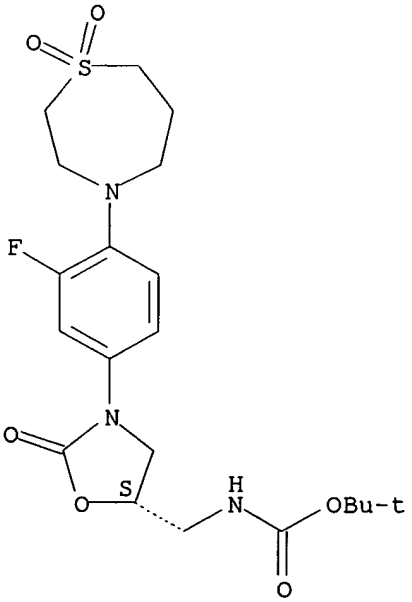
RN 273377-04-1 CAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1-oxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 273377-08-5 CAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

applicants

L9 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2001:472710 CAPLUS
DN 135:61315
TI Preparation oxazolidinone antimicrobial agents having a sulfoximine functionality
IN Hester, Jackson B., Jr.; Alexander, David L.
PA Pharmacia + Upjohn Company, USA
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

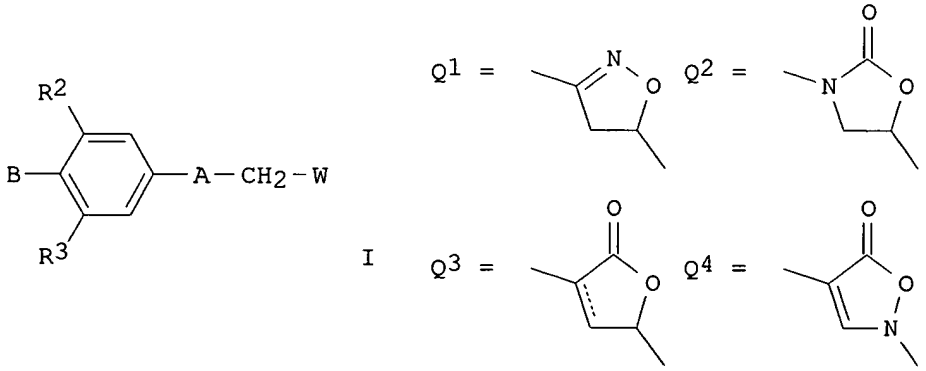
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2001046185 | A1 | 20010628 | WO 2000-US32451 | 20001212 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| US 2001046987 | A1 | 20011129 | US 2000-736858 | 20001214 |
| PRAI US 1999-171916P | P | 19991221 | | |

OS MARPAT 135:61315
GI



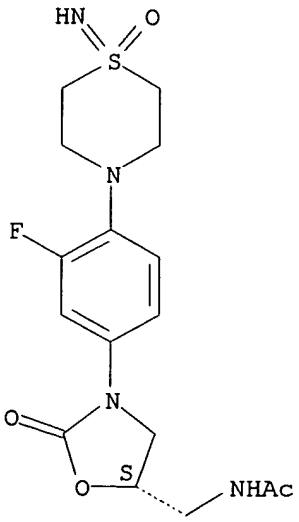

AB The title compds. (I) [wherein A = Q1-Q4; B = specified heterocycles contg. a SONR5 group; W = NHC(X)R1 or Y-het with provisos; X = O or S with provisos; Y = NH, O, or S; R1 = (un)substituted H, NH2, alkyl(amino), alkenyl, alkoxy, alkylthio, or cycloalkyl(alkyl); R2 and R3 =

independently H, F, Cl, Me, or Et; R5 = H or (un)substituted alkyl, alkanoyl, alkoxycarbonyl, CONHR6, or CSNHR6; R6 = Ph or (un)substituted alkyl; p = 0-2; q = 1-5 with provisos; m = 0-2; n = 2 or 3; or a pharmaceutically acceptable salt thereof] were prepd. as potent Gram-pos. and Gram-neg. antibacterial agents. For example, the 3-[4-(1-imino-1-oxido-1.lambda.4,4-thiazinan-4-yl)phenyl]oxazolidinone (II) was synthesized by reaction of (S)-N-[[3-[3-fluoro-4-(1-oxothiomorpholin-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide with NaN3 in the presence of polyphosphoric acid to give the sulfoximine, deacetylation, and addn. of Et dithioacetate to the amine. II displayed antibacterial activity against Staphylococcus aureas, Staphylococcus epidermidis, Enterococcus faecium, Streptococcus pneumoniae, Streptococcus pyogenes, Enterococcus faecalis, Moraxella catarrhalis, and H. influenzae with min. inhibitory concns. of <4 .mu.g/mL.

IT **346457-88-3P 346457-90-7P 346457-92-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of oxazolidinone antibacterial agents having a sulfoximine functionality from 3-[4-(1-oxothiomorpholino)phenyl]oxazolidinones and related compds.)

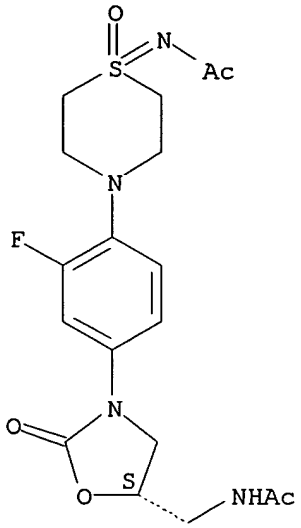
RN 346457-88-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-(1,1-dihydro-1-imino-1-oxido-4-thiomorpholinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



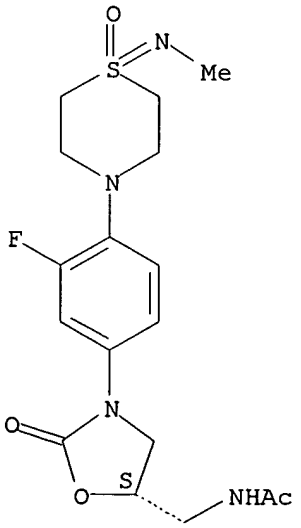

RN 346457-90-7 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[1-(acetylimino)-1,1-dihydro-1-oxido-4-thiomorpholinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 346457-92-9 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[1,1-dihydro-1-(methylimino)-1-oxido-4-thiomorpholinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

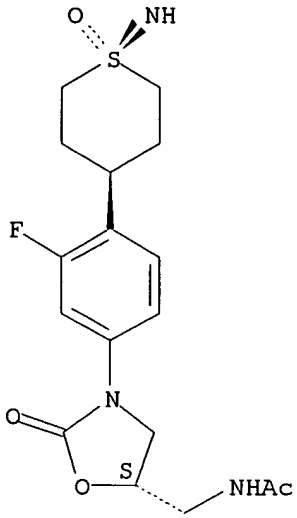
Absolute stereochemistry.



IT **346457-25-8P 346457-33-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of oxazolidinone antibacterial agents having a sulfoximine functionality from 3-[4-(1-oxothiomorpholino)phenyl]oxazolidinones and related compds.)
RN 346457-25-8 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(trans-1,1,3,4,5,6-hexahydro-1-imino-1-

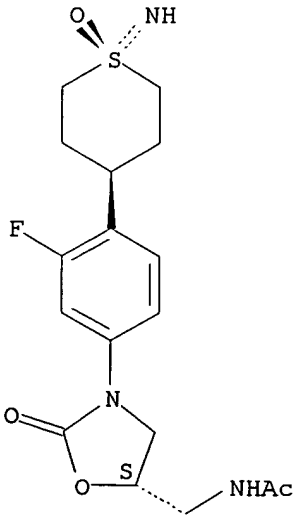
oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 346457-33-8 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-1,1,3,4,5,6-hexahydro-1-imino-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

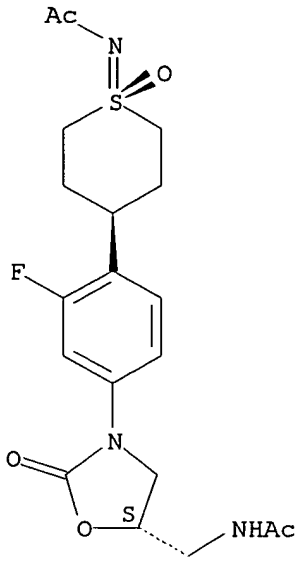
Absolute stereochemistry.




IT **346457-43-0P 346457-84-9P 346457-86-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxazolidinone antibacterial agents having a sulfoximine functionality from 3-[4-(1-oxothiomorpholino)phenyl]oxazolidinones and

related compds.)
RN 346457-43-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[cis-1-(acetylimino)-1,1,3,4,5,6-hexahydro-1-oxido-2H-thiopyran-4-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




cl. 46

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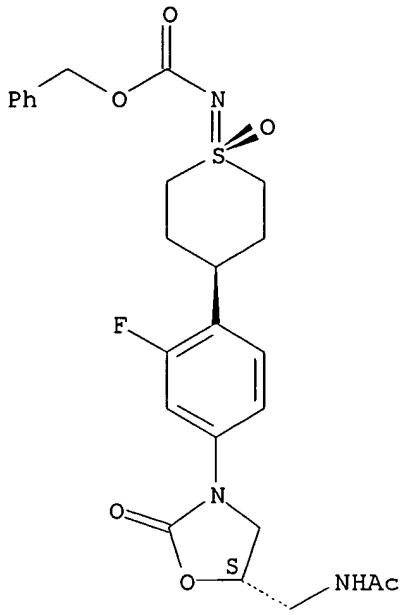
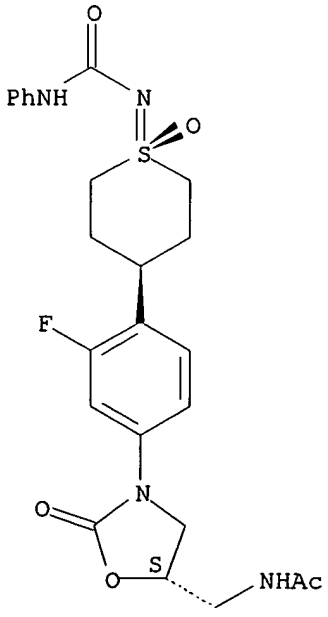
RN 346457-84-9 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[cis-1,1,3,4,5,6-hexahydro-1-[[(phenylmethoxy)carbonyl]imino]-1-oxido-2H-thiopyran-4-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 346457-86-1 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[cis-1,1,3,4,5,6-hexahydro-1-oxido-1-[[(phenylamino)carbonyl]imino]-2H-thiopyran-4-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

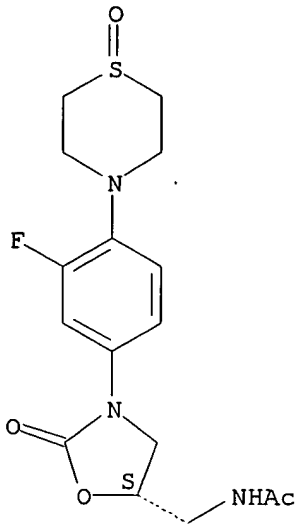
Absolute stereochemistry.



IT **168828-60-2 216869-09-9 216869-12-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn. of oxazolidinone antibacterial agents having a sulfoximine functionality from 3-[4-(1-oxothiomorpholino)phenyl]oxazo

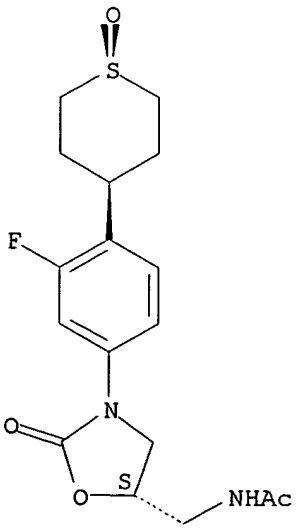
lidinones and related compds.)
RN 168828-60-2 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



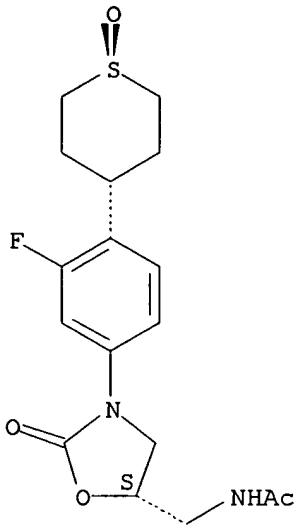

RN 216869-09-9 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RN 216869-12-4 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



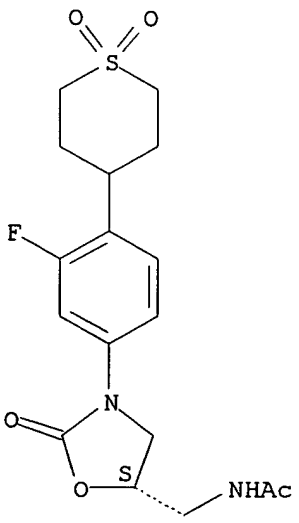

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2001:464364 CAPLUS
DN 135:56050
TI Enhancement of oxazolidinone antibacterial agents activity by using arginine derivatives
IN Bohanon, Michael John
PA Pharmacia & Upjohn Company, USA
SO U.S., 6 pp., Cont.-in-part of U.S. Ser. No. 81,164, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 6251869 | B1 | 20010626 | US 1999-313465 | 19990517 |
| PRAI | US 1998-81164 | B2 | 19980518 | | |

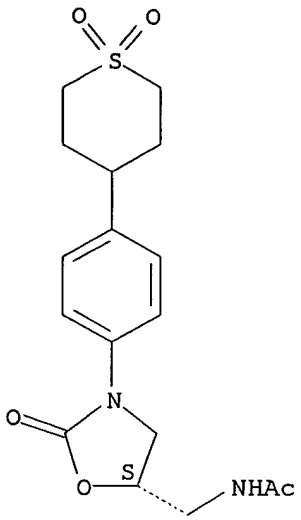
OS MARPAT 135:56050
AB Methods and compns. are provided for enhancing the effectiveness of oxazolidinone antibacterial agents against gram-neg. organisms infection by using an arginine deriv., e.g. L-phenylalanyl-L-arginyl-.beta.-naphthylamide.
IT **188974-61-0 188974-75-6 226991-61-3 226991-62-4 345897-48-5 345897-50-9 345897-52-1 345897-55-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(arginine deriv. for oxazolidinone antibacterial agent enhancement)
RN 188974-61-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



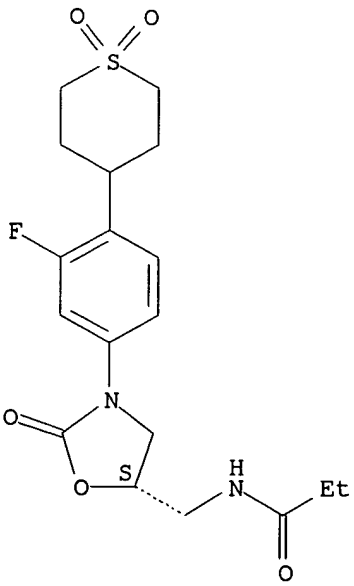

RN 188974-75-6 CAPLUS
CN Acetamide, N-[[(5S)-2-oxo-3-[4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



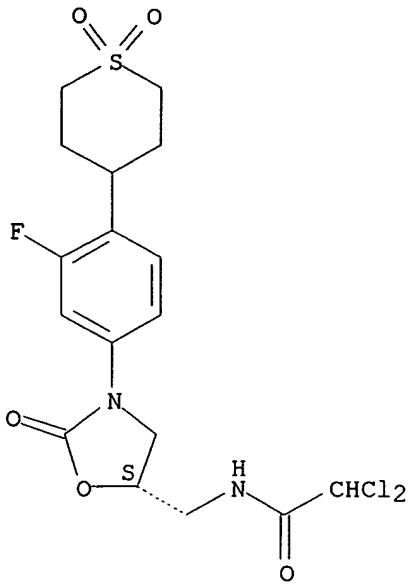
RN 226991-61-3 CAPLUS
CN Propanamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



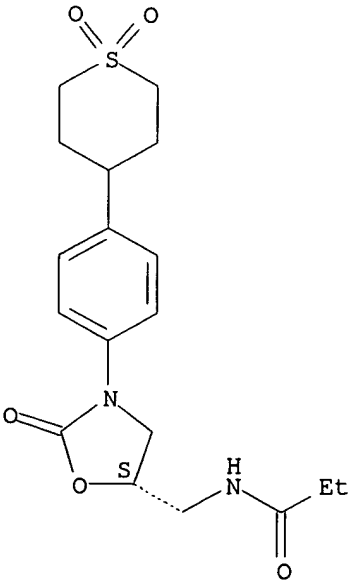
RN 226991-62-4 CAPLUS
CN Acetamide, 2,2-dichloro-N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



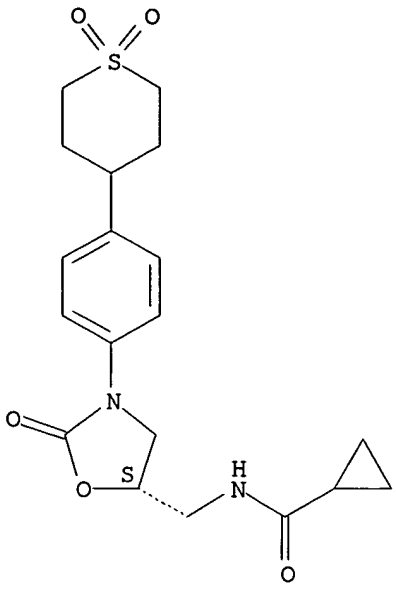
RN 345897-48-5 CAPLUS
CN Propanamide, N-[[(5S)-2-oxo-3-[4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



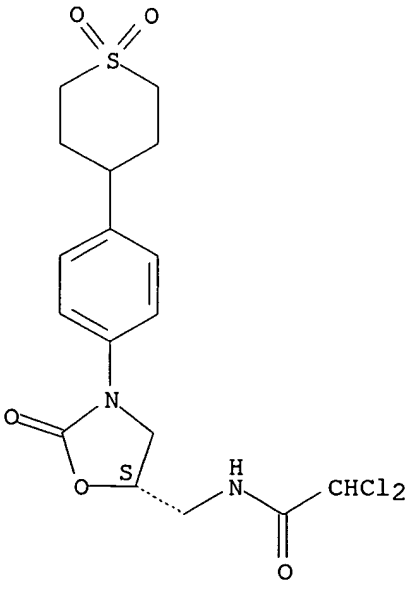
RN 345897-50-9 CAPLUS
CN Cyclopropanecarboxamide, N-[[(5S)-2-oxo-3-[4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



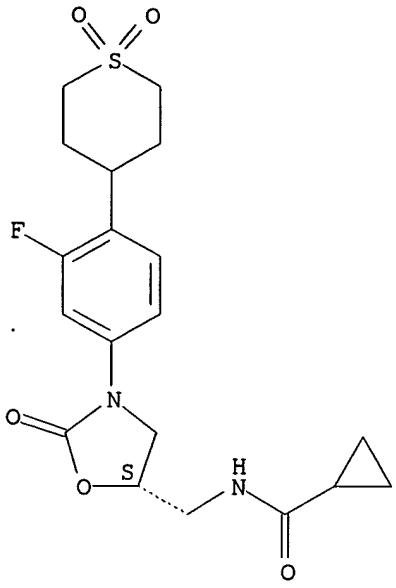
RN 345897-52-1 CAPLUS
CN Acetamide, 2,2-dichloro-N-[[(5S)-2-oxo-3-[4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



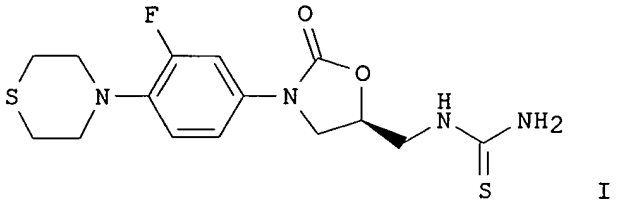
RN 345897-55-4 CAPLUS
CN Cyclopropanecarboxamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2001:252571 CAPLUS
DN 135:31127
TI Structure-activity relationship (SAR) studies on oxazolidinone antibacterial agents. 1. Conversion of 5-substituent on oxazolidinone
AU Tokuyama, Ryukou; Takahashi, Yoshiei; Tomita, Yayoi; Suzuki, Tomio; Yoshida, Toshihiko; Iwasaki, Nobuhiko; Kado, Noriyuki; Okezaki, Eiichi; Nagata, Osamu
CS Research and Development Division, Hokuriku Seiyaku Co., Ltd., Fukui, 911-8555, Japan
SO Chemical & Pharmaceutical Bulletin (2001), 49(4), 347-352
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
GI

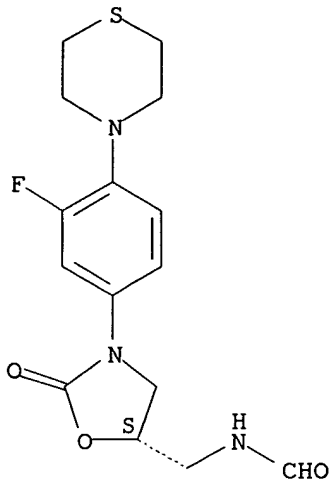


I

AB A structure-activity relationship (SAR) study on 5-substituted oxazolidinones as antibacterial agents is described. Oxazolidinones, whose 5-acetylaminomethyl moiety was converted to other functions, were prepd. and evaluated for antibacterial activity. Elongation of the methylene chain and conversion of the acetamido moiety to a guanidino moiety decreased the antibacterial activity. The replacement of carbonyl O (C=O) by thiocarbonyl S (C=S) enhanced in vitro antibacterial activity. Esp. (I), which had a 5-thiourea group, showed 4-8-fold stronger in vitro activity than linezolid. SAR study revealed that the antibacterial activity was greatly affected by the conversion of the 5-substituent.

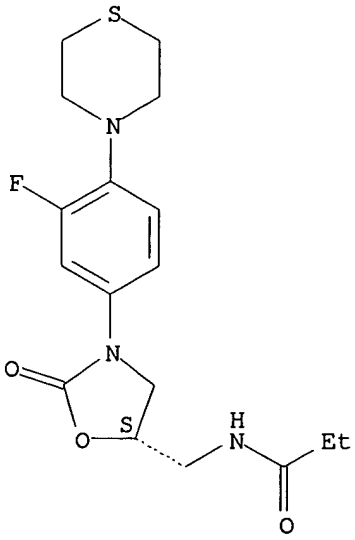
IT **343869-91-0P 343869-92-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(structure-activity relationship studies on oxazolidinone antibacterial agents)
RN 343869-91-0 CAPLUS
CN Formamide, N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



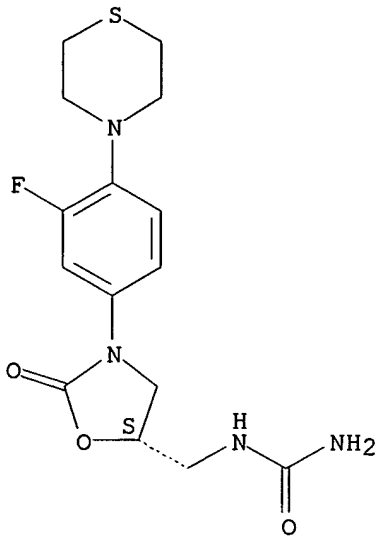
RN 343869-92-1 CAPLUS
CN Propanamide, N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



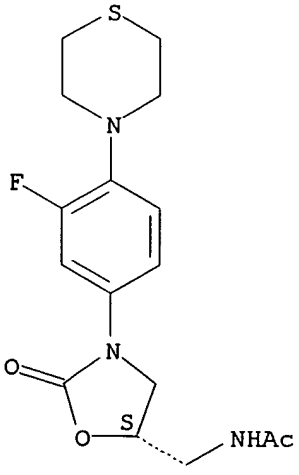
IT **343869-93-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(structure-activity relationship studies on oxazolidinone antibacterial agents)
RN 343869-93-2 CAPLUS
CN Urea, [[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **168828-58-8**, PNU 100480
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(structure-activity relationship studies on oxazolidinone antibacterial agents)
RN 168828-58-8 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



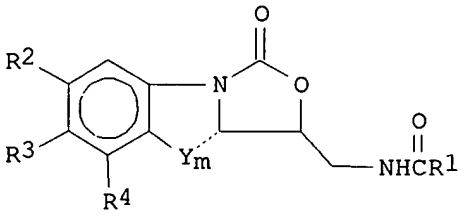
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2001:208106 CAPLUS
DN 134:242679
TI Topical treatment or prevention of ocular infections using oxazolidinone antibiotics
IN Bowman, Lyle M.; Samir, Roy; Shen, Peng
PA Insite Vision Incorporated, USA
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001019366 | A1 | 20010322 | WO 2000-US24914 | 20000912 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

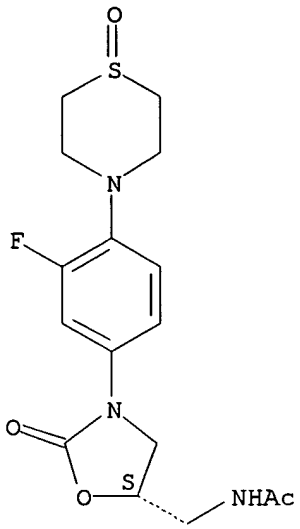
PRAI US 1999-394617 A 19990913
US 2000-659063 A 20000911
GI



I

AB The topical application of an oxazolidinone antibiotic in a polymeric suspension or aq. compn. to the eye is useful in treating or preventing ocular infections. Preferred oxazolidinone antibiotic compns. comprise the compd. I and the pharmaceutically acceptable salts thereof. For example, an aq. polymeric suspension was prepd. contg. I 0.25, polycarbophil (Noveon AA-1) 0.75, EDTA 0.1, NaCl 0.3, BAK 0.01, glycerol 0.5, sorbitol 1.5, and Poloxamer 407 0.1 part, resp.
IT **168828-60-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical compns. contg. oxazolidinone antibiotics for treatment or prevention of ocular infections)
RN 168828-60-2 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

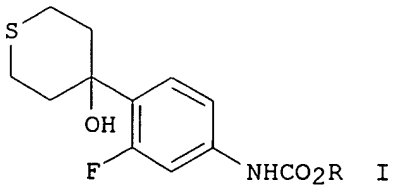



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2000:535134 CAPLUS
DN 133:150549
TI Preparation of thiopyranylfluorophenyloxazolidinones and hydroxytetrahydrothiopyranylfluorophenyl carbamate intermediates.
IN Gage, James R.
PA Pharmacia and Upjohn Company, USA
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000044741 | A2 | 20000803 | WO 2000-US506 | 20000131 |
| | WO 2000044741 | A3 | 20001207 | | |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 6239283 | B1 | 20010529 | US 2000-495017 | 20000131 |
| | BR 2000007918 | A | 20011023 | BR 2000-7918 | 20000131 |
| | EP 1149089 | A2 | 20011031 | EP 2000-909891 | 20000131 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| PRAI | US 1999-118150P | P | 19990201 | | |
| | WO 2000-US506 | W | 20000131 | | |

OS MARPAT 133:150549
GI



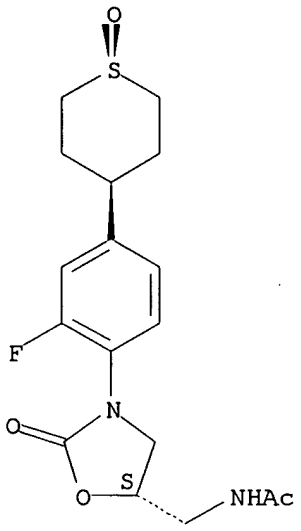

I

AB Title compds. [I; R = alkyl, phenylalkyl, alkoxyalkyl, alkenyl, phenylalkenyl, cycloalkylalkenyl, (alkyl-substituted) Ph, naphthyl], were prepd. Thus, 3-fluoroaniline in CH2Cl2 was treated with aq. Na2CO3 and then with iso-Bu chloroformate to give a soln. of 2-methylpropyl 3-fluorophenylcarbamate. This was stirred with dibromantin to give 2-methylpropyl 4-bromo-3-fluorophenylcarbamate. This was stirred with EtMgBr, Me3SiCl, and N,N-tetramethylethylenediamine followed by cooling to -23.degree. to -27.degree. and treatment with BuLi and tetrahydrothiopyran-4-one to give 2-methylpropyl 3-fluoro-4-(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)phenylcarbamate. This was converted in several steps to [4(S)-cis]-N-[[3-[3-fluoro-4-(tetrahydro-1-oxido-2H-thiopyranyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide.

IT **287172-73-0P 287172-79-6P 287172-86-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thiopyranylfluorophenyloxazolidinones and hydroxytetrahydrothiopyranylfluorophenyl carbamate intermediates)

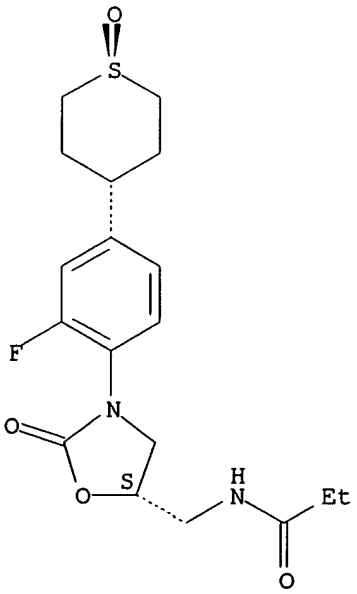
RN 287172-73-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[2-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



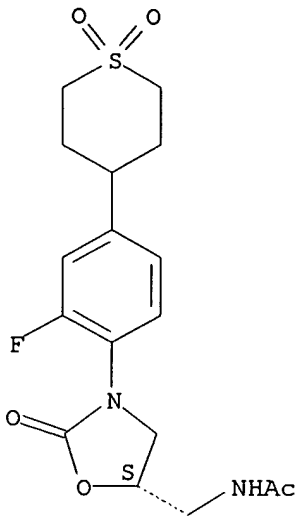
RN 287172-79-6 CAPLUS
CN Propanamide, N-[[(5S)-3-[2-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



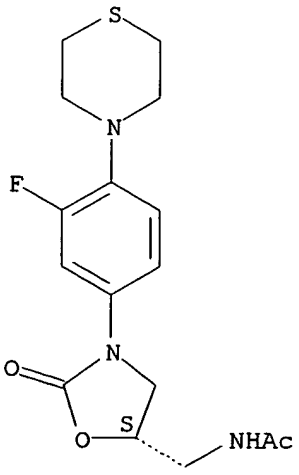
RN 287172-86-5 CAPLUS
CN Acetamide, N-[[(5S)-3-[2-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



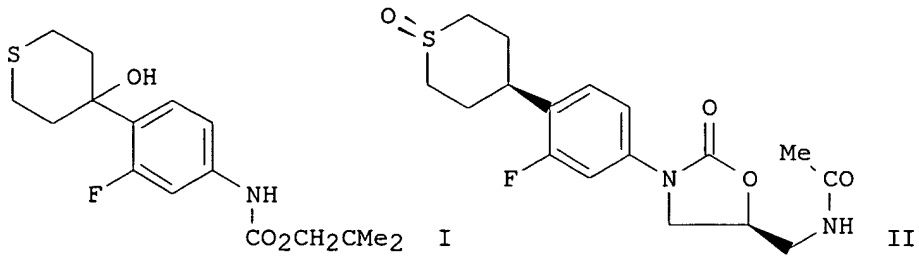
L9 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2000:454836 CAPLUS
DN 133:171759
TI Antimycobacterial pyrroles: synthesis, anti-Mycobacterium tuberculosis activity and QSAR studies
AU Ragno, R.; Marshall, G. R.; Di Santo, R.; Costi, R.; Massa, S.; Rompei, R.; Artico, M.
CS Center for Molecular Design, Washington University, St. Louis, MO, 63110, USA
SO Bioorganic & Medicinal Chemistry (2000), 8(6), 1423-1432
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB A no. of known antifungal pyrrole derivs. and some newly synthesized compds. (5-33) were tested in vitro against Mycobacterium tuberculosis CIP 103471. The majority of tested compds. were efficient antimycobacterial agents showing MIC values ranging from 0.5 to 32 .mu.g/mL. A 3-D-QSAR study has been performed on these pyrrole derivs. to correlate their chem. structures with their obsd. inhibiting activity against M. tuberculosis. Due to the absence of information on a putative receptor responsible for this activity, classical quant. structure-activity relationships (QSAR) and comparative mol. field anal. (CoMFA) have been applied. A model able to well correlate the antimycobacterial activity with the chem. structures of pyrrole derivs. 5-33 has been developed which is potentially helpful in the design of novel and more potent antituberculosis agents. The combination of CoMFA with classical QSAR descriptors led to a better hybrid 3-D-QSAR model, that successfully explains the structure-activity relationships (r2=0.86) of the training set. A comparison between the QSAR, CoMFA and mixed QSAR-CoMFA models is also presented. The hybrid model is to be preferred, however, because of its lowest values of the av. abs. error of prediction toward a limited external test set.
IT **168828-58-8**, U 100480
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antimycobacterial pyrroles)
RN 168828-58-8 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2000:431301 CAPLUS
DN 133:177123
TI Stereodivergent synthesis of sulfoxide-containing oxazolidinone antibiotics
AU Gage, James R.; Perrault, William R.; Poel, Toni-Jo; Thomas, Richard C.
CS Pharmacia and Upjohn, Inc., Kalamazoo, MI, 49001, USA
SO Tetrahedron Letters (2000), 41(22), 4301-4305
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 133:177123
GI



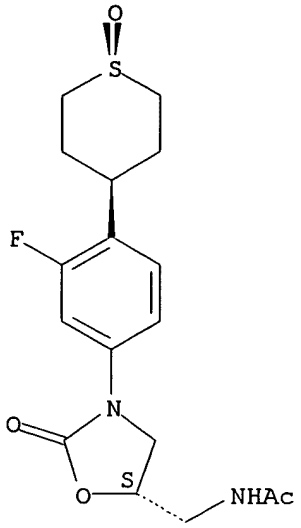

I II

AB Carbamate I was prepd. under mild conditions via a novel metal-halogen exchange procedure without competing benzyne formation. Selection of an appropriate oxidn./redn. sequence afforded access to either the cis- or trans-1-oxo-4-aryltetrahydrothiopyran system, important intermediates in the synthesis of a new class of oxazolidinone antibiotics, such as II.

IT **216869-09-9P 226991-63-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereodivergent synthesis of sulfoxide-contg. oxazolidinone antibiotics)

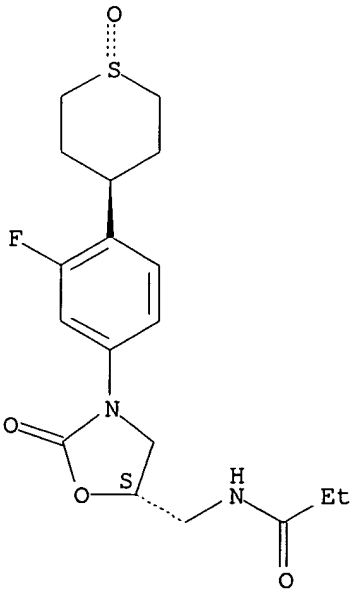
RN 216869-09-9 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 226991-63-5 CAPLUS
CN Propanamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

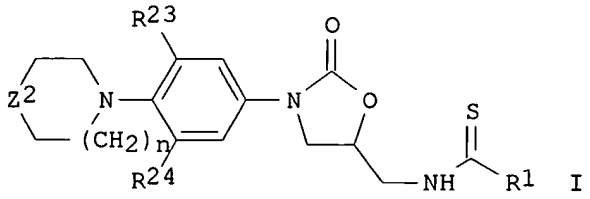


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2000:384192 CAPLUS
DN 133:30719
TI Oxazolidinone antibacterial agents having a thiocarbonyl functionality
IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-jo
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000032599 | A1 | 20000608 | WO 1998-US25308 | 19981127 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9917053 | A1 | 20000619 | AU 1999-17053 | 19981127 |
| | EP 1133493 | A1 | 20010919 | EP 1998-961822 | 19981127 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| PRAI | WO 1998-US25308 | A | 19981127 | | |

OS MARPAT 133:30719
GI

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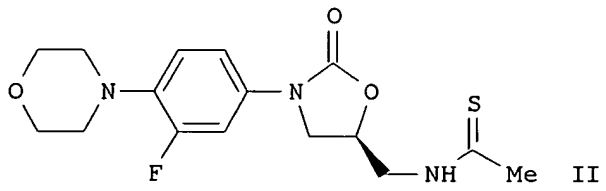



II

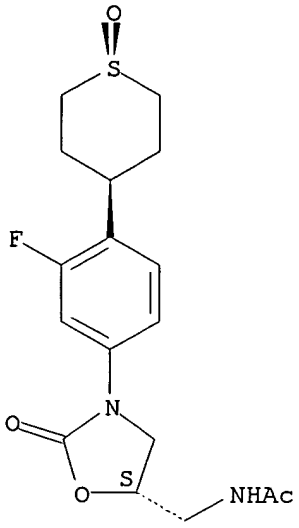
AB The title compds. (I) [wherein Z2 = SO2, S(O), S, O, or (un)substituted NH; n = 0-3; R23 and R24 = independently H or F; R1 = H, NH2, NH(alkyl), N(alkyl)2, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, alkyl(thio), alkoxy(carbonyl), CN, or cycloalkyl] were prepd. by various methods, including conversion of the corresponding amides to (alkyl)thioureas or thioamides. Replacement of the O atom with S atom unexpectedly improved the antimicrobial properties of the compds. For example, II was prepd. by treating the corresponding acetamide with Lawesson's Reagent. II

inhibited growth of tested gram pos. organisms at concns. 2-4 times lower than the comparison carbonyl-contg. compd.

IT **216869-09-9P 216869-12-4P 273377-03-0P 273377-04-1P 273377-08-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of antibacterial oxazolidinone (alkyl)thioamides or thioureas from the corresponding amides or amines)

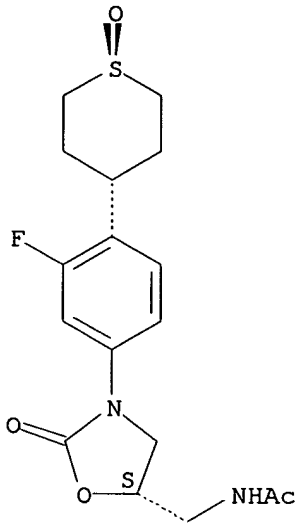
RN 216869-09-9 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



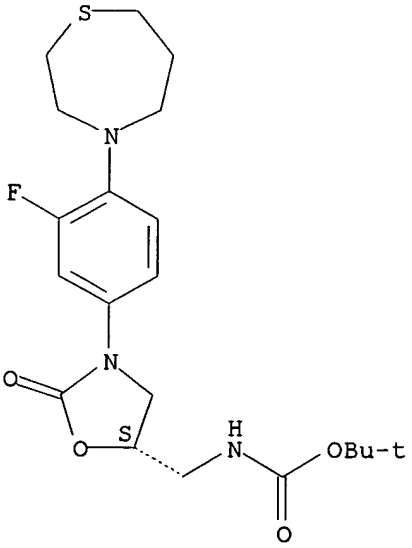

RN 216869-12-4 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



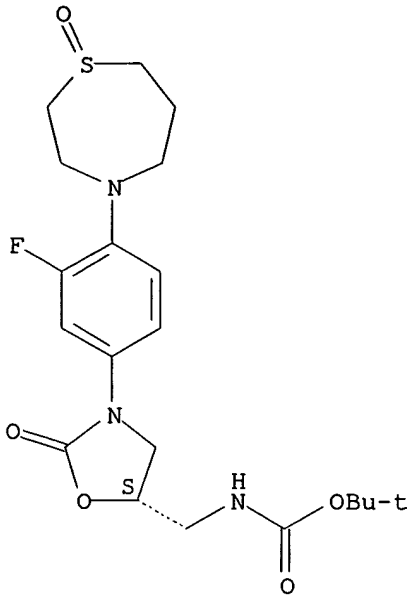
RN 273377-03-0 CAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



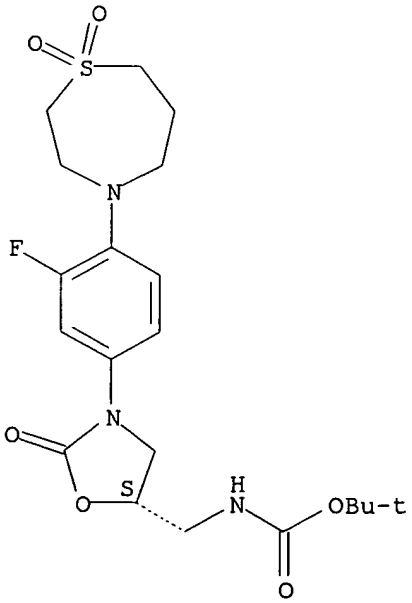
RN 273377-04-1 CAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1-oxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 273377-08-5 CAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1999:783923 CAPLUS
DN 132:15659
TI Topical administration of oxazolidinones for transdermal delivery
IN Ford, Charles W.; Watts, Jeffrey L.
PA Pharmacia and Upjohn Company, USA
SO PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

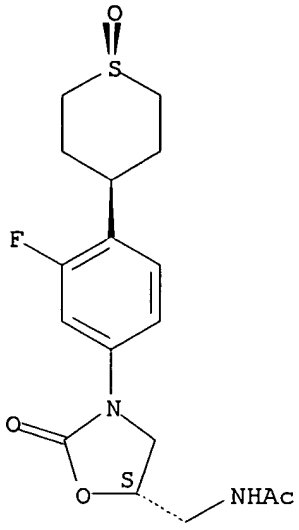
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9962504 | A2 | 19991209 | WO 1999-US10463 | 19990526 |
| | WO 9962504 | A3 | 20000224 | | |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9941848 | A1 | 19991220 | AU 1999-41848 | 19990526 |
| | BR 9910318 | A | 20010130 | BR 1999-10318 | 19990526 |
| | EP 1083900 | A2 | 20010321 | EP 1999-925598 | 19990526 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | US 2002009483 | A1 | 20020124 | US 1999-320428 | 19990526 |
| | NO 2000006161 | A | 20001204 | NO 2000-6161 | 20001204 |
| PRAI | US 1998-88283P | P | 19980605 | | |
| | WO 1999-US10463 | W | 19990526 | | |

AB Disclosed is a method of treating a non-topical infection selected from the group consisting of ear infections, skin and soft tissue infections, acne, infected wounds, bacteremia, in a useful warm blooded mammal who is in need of such treatment which comprises topical administration of a pharmaceutical formulation contg. a transdermally effective amt. of an oxazolidinone. A male having acne was treated with an ointment contg. 30 mg/mL (S)-N-[[3-[3-fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide twice daily until the redness and swelling were gone.

IT **216869-09-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(topical administration of oxazolidinones for transdermal delivery)

RN 216869-09-9 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).


O
S
F
N
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NHAc

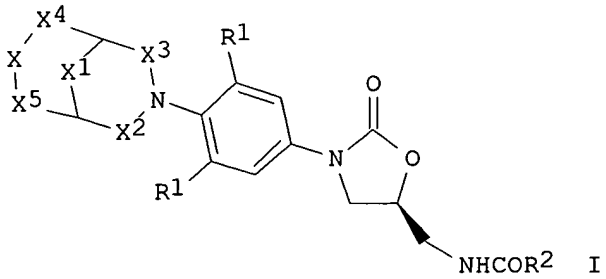
L9 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1999:584814 CAPLUS
DN 131:214279
TI Preparation of bicyclylaryloxazolidinones as antibacterials
IN Barbachyn, Michael R.; Thomas, Richard C.; Cleek, Gary L.; Thomasco, Lisa M.; Gadwood, Robert C.
PA Pharmacia & Upjohn Company, USA
SO U.S., 12 pp., Cont.-in-part of U.S. Ser. No. 339,979, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 5952324 | A | 19990914 | US 1997-51466 | 19970514 |
| WO 9615130 | A1 | 19960523 | WO 1995-US12751 | 19951031 |

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

| | | | |
|---|---|---|---|
| PRAI US 1994-339979 | B2 | 19941115 | |
| WO 1995-US12751 | W | 19951031 | |

OS MARPAT 131:214279
GI

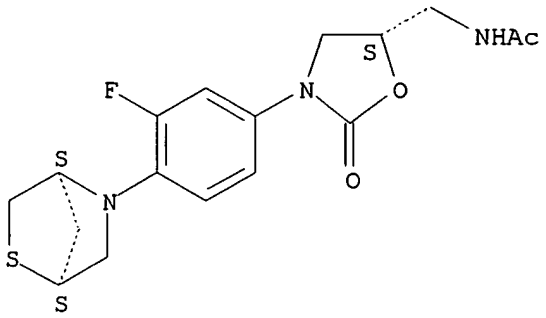



I

AB Title compds. [I; X = O, S, SO, SO2; X1 = (CH2)a ; X2 = (CH2)b; X3 = (CH2)c; X4 = (CH2)d; X5 = (CH2)e; a = 0-3; b-e = 0-2; R1 = H, F, Cl, OMe; R2 = H, (substituted) alkyl; with provisos], were prepd. I are effective against gram-pos. aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacteroides spp. and Clostridia spp. species, and acid-fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp. Thus, (S)-N-[[3-[3-fluoro-4-(tetrahydro-1H-thieno[3,4-c]pyrrol-5(3H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide in acetone/H2O was stirred with N-methylmorpholine N-oxide and OsO4 for 18 h to give (S)-N-[[3-[3-fluoro-4-(tetrahydro-1H-thieno[3,4-c]pyrrol-5(3H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide S,S-dioxide. The latter showed ED50 = 3.5 mg/kg orally against Staphylococcus aureus UC9213 in mice.

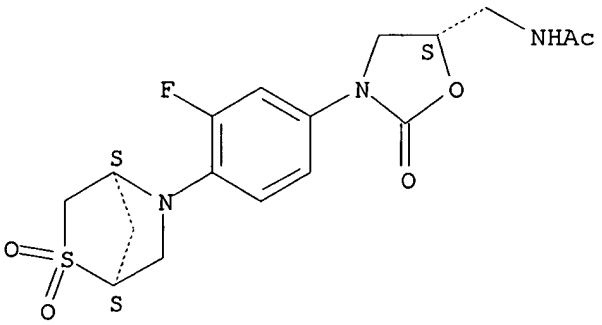
IT **179339-65-2P 179339-66-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclylaryloxazolidinones as antibacterials)
RN 179339-65-2 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(1S,4S)-2-thia-5-azabicyclo[2.2.1]hept-5-ylphenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 179339-66-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[(1S,4S)-2,2-dioxido-2-thia-5-azabicyclo[2.2.1]hept-5-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

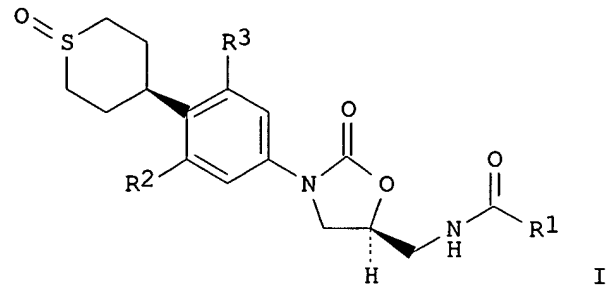



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

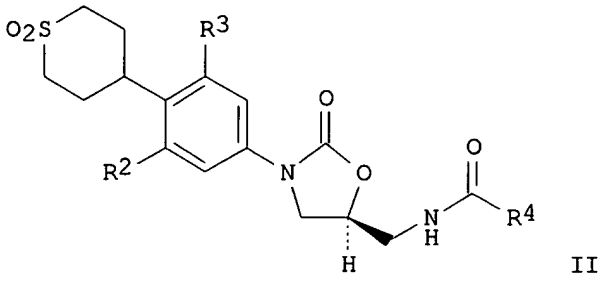
L9 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1999:388181 CAPLUS
DN 131:31934
TI Preparation of S-oxide and S,S-dioxide tetrahydrothiopyran phenyloxazolidinones as antimicrobial agents and as human monoamine oxidase inhibitors
IN Poel, Toni-Jo; Martin, Joseph P., Jr.; Barbachyn, Michael R.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9929688 | A1 | 19990617 | WO 1998-US24526 | 19981123 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 6083967 | A | 20000704 | US 1998-196890 | 19981120 |
| | AU 9917968 | A1 | 19990628 | AU 1999-17968 | 19981123 |
| | EP 1036074 | A1 | 20000920 | EP 1998-962811 | 19981123 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | BR 9814897 | A | 20001003 | BR 1998-14897 | 19981123 |
| | JP 2001525408 | T2 | 20011211 | JP 2000-524282 | 19981123 |
| | ZA 9811027 | A | 20000602 | ZA 1998-11027 | 19981202 |
| | US 6265178 | B1 | 20010724 | US 1999-434911 | 19991105 |
| | NO 2000002834 | A | 20000721 | NO 2000-2834 | 20000602 |
| PRAI | US 1997-67830P | P | 19971205 | | |
| | US 1998-89498P | P | 19980616 | | |
| | US 1998-100185P | P | 19980914 | | |
| | US 1998-196890 | A3 | 19981120 | | |
| | WO 1998-US24526 | W | 19981123 | | |

OS MARPAT 131:31934
GI



I



II

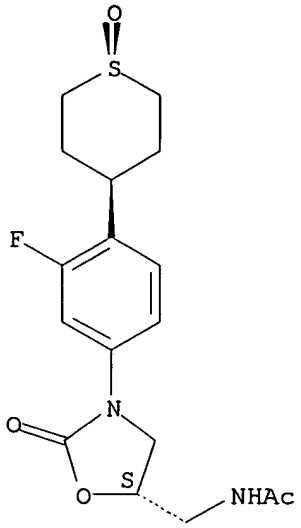
AB The title compds. I and II (R1 = Me, Et, cyclopropyl, dichloromethyl; R2, R3 = H, F, fluoro; R4 = Et, dichloromethyl), antimicrobial agents, were prepd.. E.g., [4(S)-cis]-(-)-N-[[3-[3-fluoro-4-(tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide was prepd. The invention also relates to a novel assay for detg. the inhibitory activity of oxazolidinones to human monoamine oxidase.

IT **216869-09-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of S-oxide and S,S-dioxide tetrahydrothiopyran phenyloxazolidinones as antimicrobial agents and as human monoamine oxidase inhibitors)

RN 216869-09-9 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

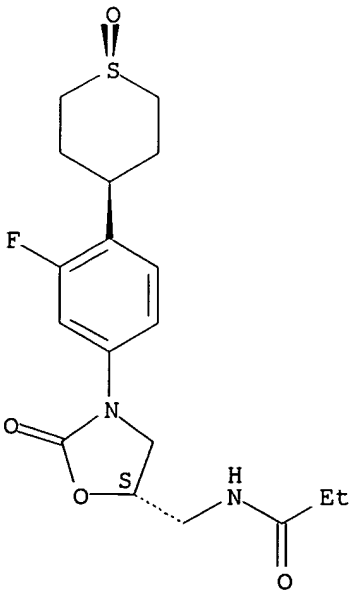


IT **226991-58-8P 226991-59-9P 226991-60-2P**
**226991-61-3P 226991-62-4P 226991-63-5P**
**226991-64-6P 226991-65-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of S-oxide and S,S-dioxide tetrahydrothiopyran phenyloxazolidinones as antimicrobial agents and as human monoamine oxidase inhibitors)

RN 226991-58-8 CAPLUS

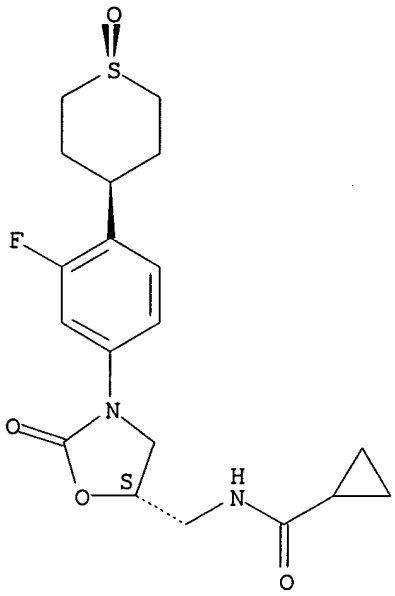
CN Propanamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



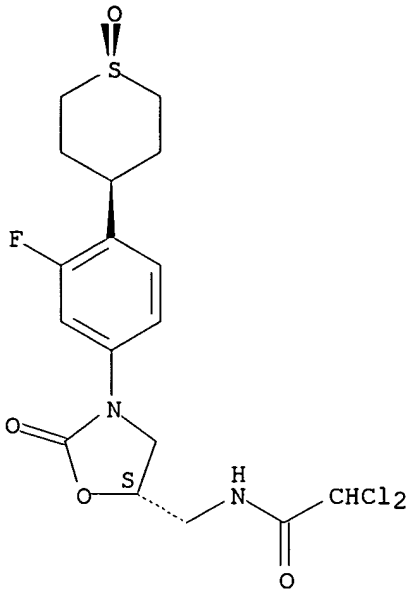
RN 226991-59-9 CAPLUS
CN Cyclopropanecarboxamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



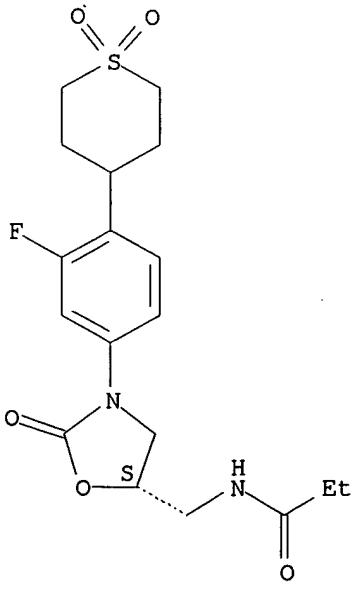
RN 226991-60-2 CAPLUS
CN Acetamide, 2,2-dichloro-N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



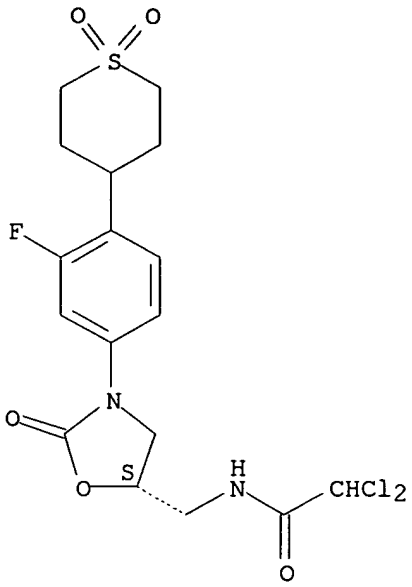
RN 226991-61-3 CAPLUS
CN Propanamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



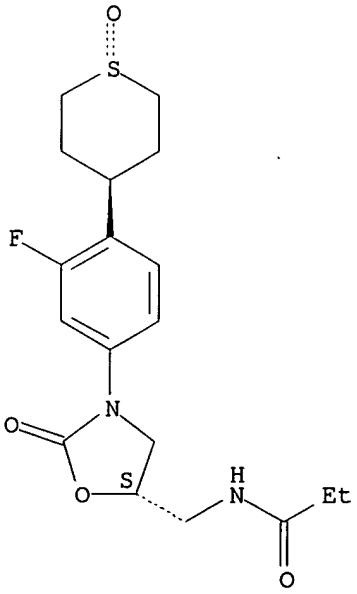
RN 226991-62-4 CAPLUS
CN Acetamide, 2,2-dichloro-N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



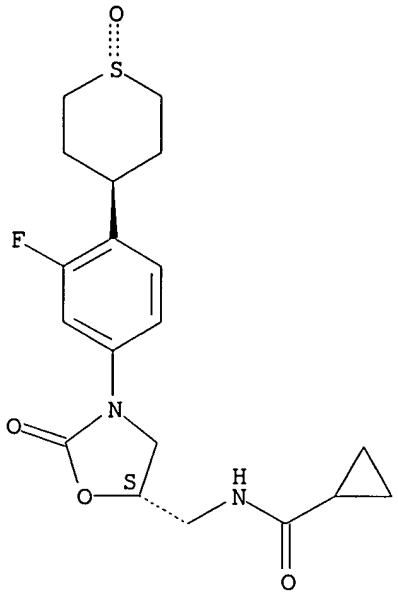
RN 226991-63-5 CAPLUS
CN Propanamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



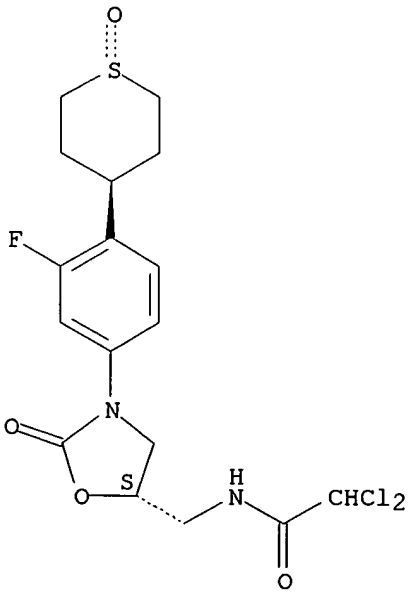
RN 226991-64-6 CAPLUS
CN Cyclopropanecarboxamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 226991-65-7 CAPLUS
CN Acetamide, 2,2-dichloro-N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

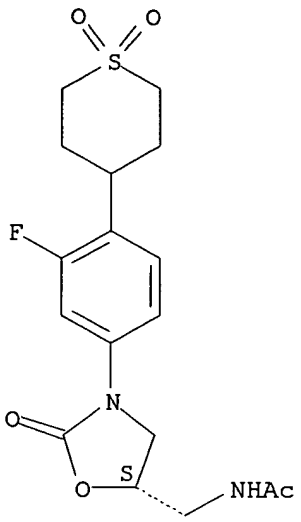
Absolute stereochemistry.



IT **188974-61-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of S-oxide and S,S-dioxide tetrahydrothiopyran phenyloxazolidinones as antimicrobial agents and as human monoamine

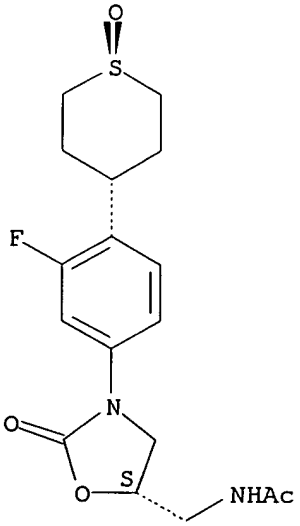
oxidase inhibitors)
RN 188974-61-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



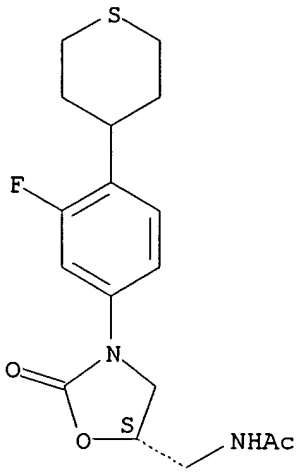
IT **216869-12-4P 226991-66-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of S-oxide and S,S-dioxide tetrahydrothiopyran phenyloxazolidinones as antimicrobial agents and as human monoamine oxidase inhibitors)
RN 216869-12-4 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 226991-66-8 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



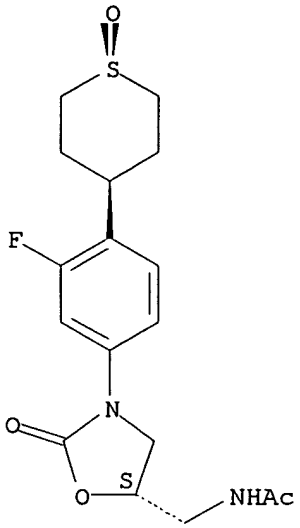

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1999:350596 CAPLUS
DN 131:724
TI Use of oxazolidinone derivatives for treating psoriasis and arthritis and reducing the toxicity of cancer chemotherapy
IN Batts, Donald H.; Ulrich, Roger G.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9925344 | A1 | 19990527 | WO 1998-US23233 | 19981110 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9915823 | A1 | 19990607 | AU 1999-15823 | 19981110 |
| | AU 743941 | B2 | 20020207 | | |
| | EP 1032386 | A1 | 20000906 | EP 1998-960157 | 19981110 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | BR 9815615 | A | 20001024 | BR 1998-15615 | 19981110 |
| | JP 2001522886 | T2 | 20011120 | JP 2000-520777 | 19981110 |
| PRAI | US 1997-65689P | P | 19971118 | | |
| | US 1998-71297P | P | 19980116 | | |
| | US 1998-73662P | P | 19980204 | | |
| | US 1998-75247P | P | 19980219 | | |
| | US 1998-77672P | P | 19980312 | | |
| | WO 1998-US23233 | W | 19981110 | | |

AB A method for treating a person who has psoriasis or arthritis or for reducing the toxicity of cancer chemotherapy comprises administering to the patient an anti-psoriasis effective amt. of an oxazolidinone, preferably (S)-N-[(3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl)methyl]acetamide.
IT **216869-09-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oxazolidinone derivs. for treatment of psoriasis and arthritis and redn. of cancer chemotherapy toxicity)
RN 216869-09-9 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

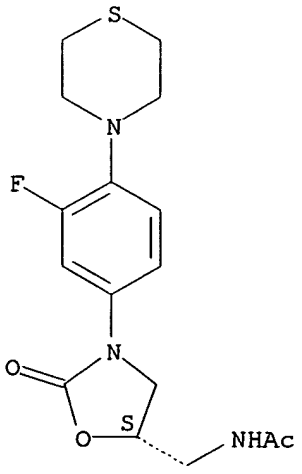
Absolute stereochemistry. Rotation (-).




RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1999:303019 CAPLUS
DN 131:110943
TI Activities of several novel oxazolidinones against Mycobacterium tuberculosis in a murine model
AU Cynamon, M. H.; Klemens, S. P.; Sharpe, C. A.; Chase, S.
CS Veteran Affairs Medical Center and State University of New York Health Science Center, Syracuse, NY, 13210, USA
SO Antimicrobial Agents and Chemotherapy (1999), 43(5), 1189-1191
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB The activities of linezolid, eperezolid, and PNU-100480 were evaluated in a murine model of tuberculosis. Approx. 107 viable M. tuberculosis ATCC 35801 organisms were given i.v. to 4-wk-old outbred CD-1 mice. In the first study, treatment was started 1 day postinfection and was given by gavage for 4 wk. Viable cell counts were detd. from homogenates of spleens and lungs. PNU-100480 was as active as isoniazid. Linezolid was somewhat less active than PNU-100480 and isoniazid. Eperezolid had little activity in this model. In the next 2 studies, treatment was started 1 wk postinfection. A dose-response study was performed with PNU-100480 and linezolid (both at 25, 50, and 100 mg/kg). PNU-100480 was more active than linezolid, and its efficacy increased with an escalation of the dose. Subsequently, the activity of PNU-100480 alone and in combination with rifampin or isoniazid was evaluated and was compared to that of isoniazid-rifampin. The activity of PNU-100480 was similar to that of isoniazid and(or) rifampin in the various combinations tested. Further evaluation of these oxazolidinones in the murine test system would be useful prior to the development of clin. studies with humans.
IT **168828-58-8**, PNU 100480
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antituberculosis activities of novel oxazolidinones against Mycobacterium tuberculosis in murine model)
RN 168828-58-8 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

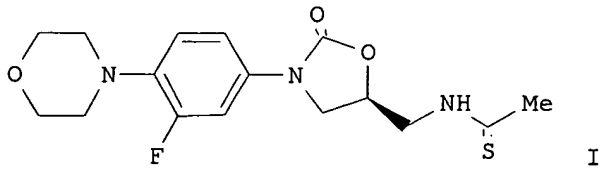


RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

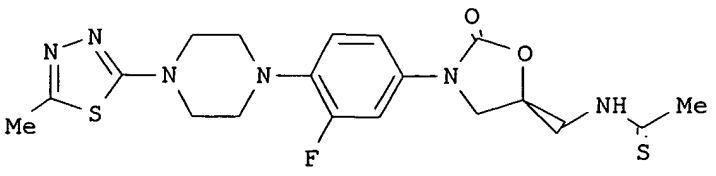
L9 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1998:794995 CAPLUS
DN 130:38373
TI Preparation of thiocarbonyloxazolidinones as antibacterial agents
IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-jo
PA Pharmacia & Upjohn Company, USA; Hester, Jackson B., Jr.
SO PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9854161 | A1 | 19981203 | WO 1998-US9889 | 19980518 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9874883 | A1 | 19981230 | AU 1998-74883 | 19980513 |
| | AU 737995 | B2 | 20010906 | | |
| | EP 984947 | A1 | 20000315 | EP 1998-922303 | 19980518 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | BR 9815518 | A | 20001121 | BR 1998-15518 | 19980518 |
| | JP 2002501530 | T2 | 20020115 | JP 1999-500722 | 19980518 |
| | NO 9905846 | A | 20000128 | NO 1999-5846 | 19991129 |
| | FI 9902555 | A | 19991130 | FI 1999-2555 | 19991130 |
| PRAI | US 1997-48342P | P | 19970530 | | |
| | WO 1998-US9889 | W | 19980518 | | |

OS MARPAT 130:38373
GI




I



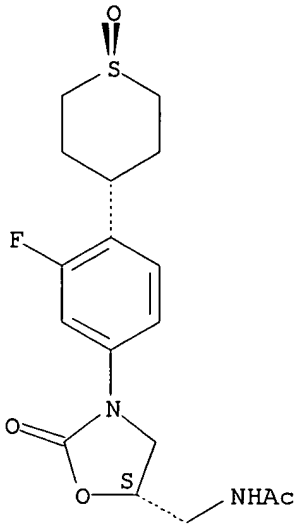

II

AB Chiral title compds. AGCH2NHCSR [A is (un)substituted Ph, indolinyl; G is 2-oxo-5-oxazolidinyl; R is H, NH2, alkyl, cycloalkyl, etc.] or pharmaceutical acceptable salts are prepd., from amines with Lawesson's

Reagent or 1,1'-thiocarbonyldi-2(1H)-pyridone, as antibacterial agents. Title compds. I and II were tested in vitro by std. agar diln. method.

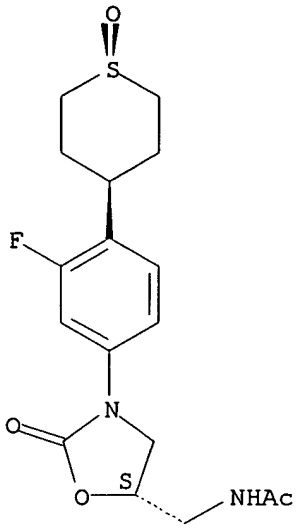
IT **216869-12-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of thiocarbonyloxazolidinones as antibacterial agents)
RN 216869-12-4 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




IT **216869-09-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of thiocarbonyloxazolidinones as antibacterial agents)
RN 216869-09-9 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

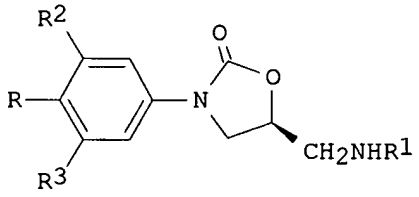



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1997:324112 CAPLUS
DN 126:293348
TI Preparation of 5-acylaminomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs
IN Gadwood, Robert C.; Kamdar, Bharat V.
PA Upjohn Co., USA; Gadwood, Robert C.; Kamdar, Bharat V.
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9710223 | A1 | 19970320 | WO 1996-US14135 | 19960909 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI | | | | |
| | AU 9669640 | A1 | 19970401 | AU 1996-69640 | 19960909 |
| | JP 11512429 | T2 | 19991026 | JP 1996-511993 | 19960909 |
| | EP 1019385 | A1 | 20000719 | EP 1996-930676 | 19960909 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI | | | | |
| | US 6277985 | B1 | 20010821 | US 1996-709998 | 19960909 |
| | US 2001051722 | A1 | 20011213 | US 2001-894019 | 20010628 |
| PRAI | US 1995-3838P | P | 19950915 | | |
| | US 1996-709998 | A3 | 19960909 | | |
| | WO 1996-US14135 | W | 19960909 | | |

OS MARPAT 126:293348
GI




I

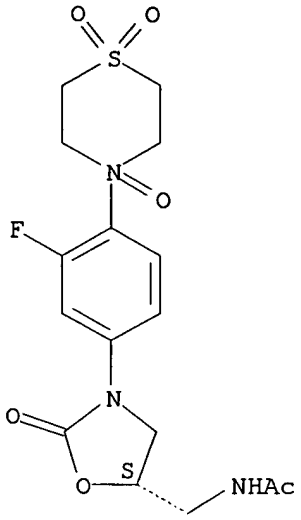
AB Title compds. [I; R = N-attached-N-oxido-hetero(bi)cyclyl; R1 = CHO, Ac, CO2Me, etc.; R2,R3 = H, F, Cl] were prepd. Thus, I (R = 4-hydroxyacetyl-1-piperazinyl, R1 = Ac, R2 = F, R3 = H) was oxidized to give I (R = 4-hydroxyacetyl-1-oxido-1-piperazinyl, R1 = Ac, R2 = F, R3 = H). Data for biol. activity of I were given.

IT **189038-43-5P 189038-44-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-acylaminomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs)

RN 189038-43-5 CAPLUS

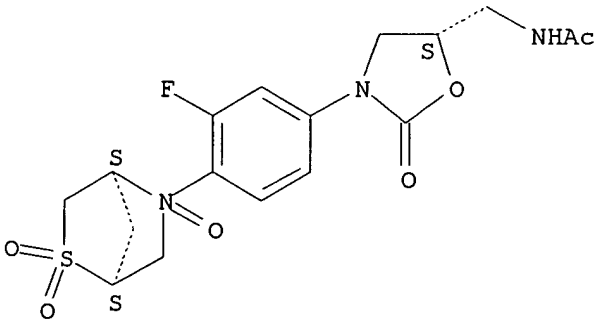
CN Acetamide, N-[[3-[3-fluoro-4-(1,1,4-trioxido-4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 189038-44-6 CAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-(2,2,5-trioxido-2-thia-5-azabicyclo[2.2.1]hept-5-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, [1S,4S,5(S)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1997:302929 CAPLUS
DN 126:277463
TI Phenyloxazolidinones having a C-C bond to 4-8 membered heterocyclic rings, and their use as antimicrobials.
IN Hutchinson, Douglas K.; Ennis, Michael D.; Hoffman, Robert L.; Thomas, Richard C.; Poel, Toni-Jo; Barbachyn, Michael Robert; Brickner, Steven J.; Anderson, David J.
PA Upjohn Co., USA; Hutchinson, Douglas, K.; Ennis, Michael D.; Hoffman, Robert L.; Thomas, Richard C.; Poel, Toni-Jo; Barbachyn, Michael Robert; Brickner, Steven J.; Anderson, David J.
SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9709328 | A1 | 19970313 | WO 1996-US12766 | 19960813 |
| | W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA | | | | |
| | CA 2228647 | AA | 19970313 | CA 1996-2228647 | 19960813 |
| | AU 9667181 | A1 | 19970327 | AU 1996-67181 | 19960813 |
| | AU 716493 | B2 | 20000224 | | |
| | EP 856002 | A1 | 19980805 | EP 1996-927316 | 19960813 |
| | EP 856002 | B1 | 20011024 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI | | | | |
| | CN 1197457 | A | 19981028 | CN 1996-197155 | 19960813 |
| | CN 1072222 | B | 20011003 | | |
| | BR 9610474 | A | 19990302 | BR 1996-10474 | 19960813 |
| | US 5968962 | A | 19991019 | US 1996-696313 | 19960813 |
| | JP 11512386 | T2 | 19991026 | JP 1996-511190 | 19960813 |
| | AT 207487 | E | 20011115 | AT 1996-927316 | 19960813 |
| | ZA 9606935 | A | 19980216 | ZA 1996-6935 | 19960815 |
| | TW 419468 | B | 20010121 | TW 1996-85110539 | 19960829 |
| | FI 9800452 | A | 19980227 | FI 1998-452 | 19980227 |
| | NO 9800855 | A | 19980430 | NO 1998-855 | 19980227 |
| | US 6166056 | A | 20001226 | US 1998-138205 | 19980824 |
| | US 6051716 | A | 20000418 | US 1999-247346 | 19990210 |
| | US 6043266 | A | 20000328 | US 1999-313468 | 19990517 |
| | US 6313307 | B1 | 20011106 | US 2000-518788 | 20000303 |
| | US 6358942 | B1 | 20020319 | US 2000-713670 | 20001115 |
| PRAI | US 1995-3149P | P | 19950901 | | |
| | US 1996-696313 | A3 | 19960813 | | |
| | WO 1996-US12766 | W | 19960813 | | |
| | US 1998-138209 | A3 | 19980824 | | |

OS MARPAT 126:277463
GI

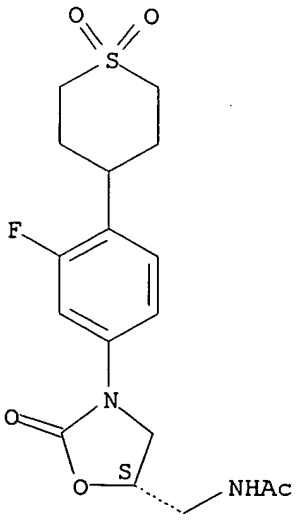
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I, or their pharmaceutically acceptable salts, are claimed [wherein X = NR1, S(O)g, or O; R1 = H, C1-6 alkyl [(un)substituted with 1 or more OH, cyano, or halo], arylalkyl, acyl, CO2H or derivs., acyl, heterocyclyl, etc.; R2 = H, C1-6 alkyl, aralkyl, halo; R3, R4 = H or halo; R5 = H, C1-12 (halo)alkyl, C3-12 cycloalkyl, C1-6 alkoxy; m, n = 0-5; (m+n) = 1-5]. The compds. are useful as antimicrobial agents. For instance, Et cyanoacetate was arylated with 3,4-F2C6H3NO2 and alkylated with MeI (100%), followed by hydrogenation of the nitrile and nitro groups (97%), cyclization to an azetidinone (60%), redn. of the amide carbonyl, protection of both ring and sidechain N atoms as the di-Cbz deriv. (51%), lithiation with BuLi, and reaction with (R)-glycidyl butyrate (64%), to give intermediate alc. II. This alc. was converted to its mesylate ester (100%), which was ammonolyzed, followed by N-acetylation (84%), hydrogenolysis (99%), and reaction with Me chloroformate (77%), to give title compd. III. This compd. had an ED50 comparable to vancomycin (5.00 mg/kg vs. 3.00 mg/kg, resp.) against Staphylococcus aureus, in vivo in mice.

IT **188974-61-0P 188974-75-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (heterocyclylphenyl)oxazolidinone derivs. as antibacterials)

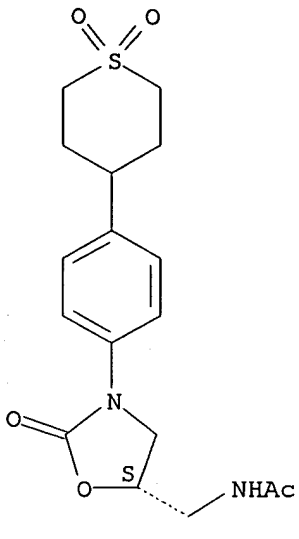
RN 188974-61-0 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RN 188974-75-6 CAPLUS
CN Acetamide, N-[[(5S)-2-oxo-3-[4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).


O
O
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N
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S
O
NHAc

L9 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1996:467068 CAPLUS
DN 125:142710
TI Preparation of [(azabicyclyl)phenyl]oxazolidinones as antibacterial agents
IN Barbachyn, Michael R.; Thomas, Richard C.; Cleek, Gary J.; Thomasco, Lisa Marie; Gadwood, Robert C.
PA Upjohn Co., USA
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9615130 | A1 | 19960523 | WO 1995-US12751 | 19951031 |
| | W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM | | | | |
| | RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9538890 | A1 | 19960606 | AU 1995-38890 | 19951031 |
| | AU 702733 | B2 | 19990304 | | |
| | EP 792273 | A1 | 19970903 | EP 1995-938148 | 19951031 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| | BR 9509673 | A | 19970930 | BR 1995-9673 | 19951031 |
| | CN 1163615 | A | 19971029 | CN 1995-196252 | 19951031 |
| | CN 1046520 | B | 19991117 | | |
| | JP 10508844 | T2 | 19980902 | JP 1995-516040 | 19951031 |
| | RU 2128660 | C1 | 19990410 | RU 1997-110168 | 19951031 |
| | NO 9702222 | A | 19970514 | NO 1997-2222 | 19970514 |
| | US 5952324 | A | 19990914 | US 1997-51466 | 19970514 |
| PRAI | US 1994-339979 | A2 | 19941115 | | |
| | WO 1995-US12751 | W | 19951031 | | |

OS MARPAT 125:142710
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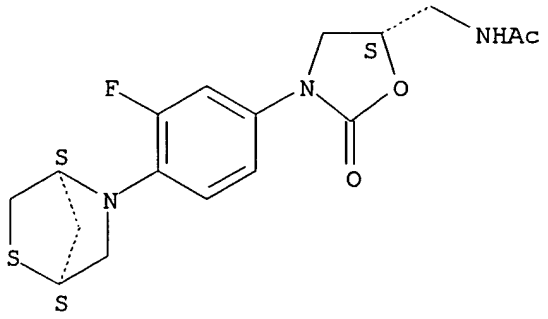
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB [(Azabicyclyl)phenyl]oxazolidinones I (R1 = H, halo, methoxy; R2 = H, alkyl, etc.; X = O, S, etc.; a, b, c, d, e, f = integer) were disclosed as antimicrobial agents. I are effective against a no. of human and veterinary pathogens, including gram-pos. aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacteroides spp. and Clostridia spp. species, and acid-fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp. An example compd., II, was prepd. II was more effective than vancomycin in a test against Staphylococcus aureus.

IT **179339-65-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [(azabicyclyl)phenyl]oxazolidinones as biocides)
RN 179339-65-2 CAPLUS

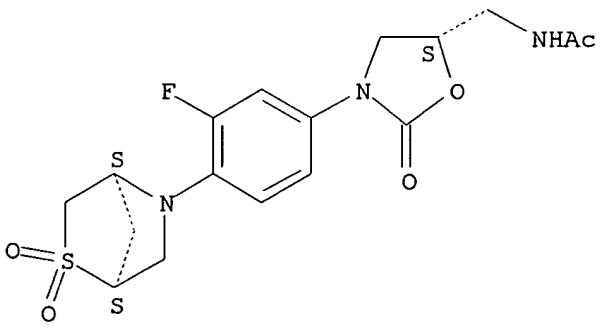
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(1S,4S)-2-thia-5-azabicyclo[2.2.1]hept-5-ylphenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



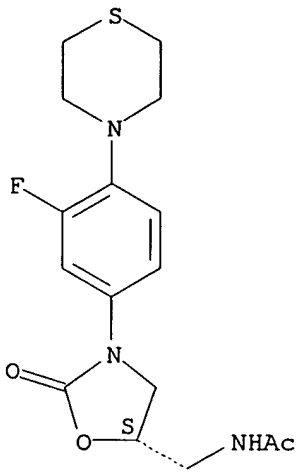

IT **179339-66-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [(azabicyclyl)phenyl]oxazolidinones as biocides)
RN 179339-66-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[(1S,4S)-2,2-dioxido-2-thia-5-azabicyclo[2.2.1]hept-5-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



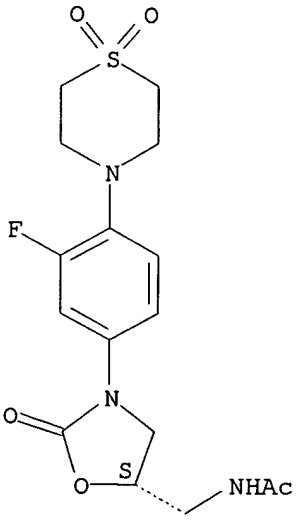
L9 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1996:58413 CAPLUS
DN 124:232387
TI Identification of a Novel Oxazolidinone (U-100480) with Potent Antimycobacterial Activity
AU Barbachyn, Michael R.; Hutchinson, Douglas K.; Brickner, Steven J.; Cynamon, Michael H.; Kilburn, James O.; Klemens, Sally P.; Glickman, Suzanne E.; Grega, Kevin C.; Hendges, Susan K.; et al.
CS Upjohn Laboratories, Upjohn Company, Kalamazoo, MI, 49001, USA
SO J. Med. Chem. (1996), 39(3), 680-5
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB A subclass of oxazolidinone antibacterial agents with esp. potent in vitro activity against mycobacteria was discovered. The salient structural feature of these oxazolidinone analogs, U-100480 [i.e., (S)-N-[[3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide], U-101603, and U-101244 is their appended thiomorpholine moiety. The rational design, synthesis, and evaluation of the in vitro antimycobacterial activity of these analogs was described. Potent activity against a screening strain of Mycobacterium tuberculosis was demonstrated by U-100480 and U-101603 (min. inhibitory concns. or MIC's .ltoreq.0.125 .mu.g/mL). Oxazolidinones U-100480 and U-101244 exhibit MIC90 values of 0.50 .mu.g/mL or less against a panel of organisms consisting of five drug-sensitive and five multidrug-resistant strains of M. tuberculosis, with U-100480 being the most active congener. Potent in vitro activity against other mycobacterial species was also demonstrated by U-100480. For example, U-100480 exhibited excellent in vitro activity against multiple clin. isolates of Mycobacterium avium complex (MIC's = 0.5-4 .mu.g/mL). Orally administered U-100480 displays in vivo efficacy against M. tuberculosis and M. avium similar to that of clin. comparators isoniazid and azithromycin, resp. Consideration of these factors, along with a favorable pharmacokinetic and chronic toxicity profile in rats, suggests that U-100480 is a promising antimycobacterial agent (tuberculostatic).
IT **168828-58-8P 168828-59-9P 168828-60-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of (thiomorpholinyl)phenyl]oxazolidinones as antimycobacterial agents)
RN 168828-58-8 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



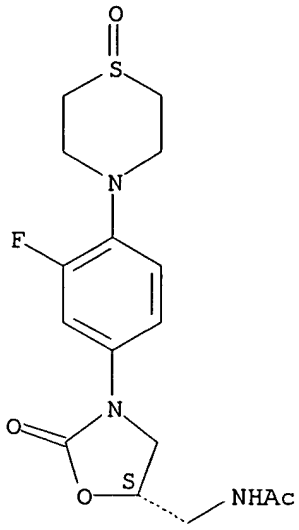

RN 168828-59-9 CAPLUS
CN Acetamide, N-[[3-[4-(1,1-dioxido-4-thiomorpholinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 168828-60-2 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.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N
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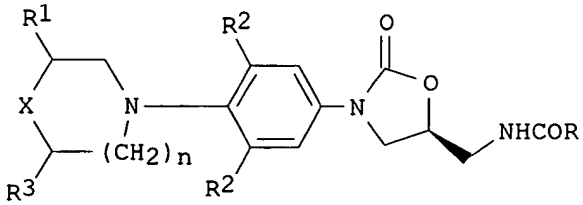
NHAc

L9 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1995:846512 CAPLUS
DN 123:256742
TI Preparation of substituted oxazine- and thiazineoxazolidinone antibiotics
IN Barbachyn, Michael R.; Brickner, Steven J.; Hutchinson, Douglas K.
PA Upjohn Co., USA
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9507271 | A1 | 19950316 | WO 1994-US8904 | 19940816 |
| | W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN | | | | |
| | RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | ZA 9405894 | A | 19960205 | ZA 1994-5894 | 19940805 |
| | CA 2168560 | AA | 19950316 | CA 1994-2168560 | 19940816 |
| | AU 9475570 | A1 | 19950327 | AU 1994-75570 | 19940816 |
| | AU 687866 | B2 | 19980305 | | |
| | EP 717738 | A1 | 19960626 | EP 1994-925765 | 19940816 |
| | EP 717738 | B1 | 19991020 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| | CN 1130379 | A | 19960904 | CN 1994-193313 | 19940816 |
| | CN 1057087 | B | 20001004 | | |
| | JP 09502436 | T2 | 19970311 | JP 1994-508665 | 19940816 |
| | AT 185804 | E | 19991115 | AT 1994-925765 | 19940816 |
| | ES 2139093 | T3 | 20000201 | ES 1994-925765 | 19940816 |
| | JP 3176630 | B2 | 20010618 | JP 1995-508665 | 19940816 |
| | IL 110802 | A1 | 20000928 | IL 1994-110802 | 19940829 |
| | US 5688792 | A | 19971118 | US 1996-617877 | 19960305 |
| | US 5880118 | A | 19990309 | US 1997-886965 | 19970702 |
| | LV 12605 | B | 20010520 | LV 2000-142 | 20001020 |
| PRAI | US 1993-119279 | A | 19930909 | | |
| | US 1994-226158 | A | 19940411 | | |
| | WO 1994-US8904 | W | 19940816 | | |
| | US 1996-617877 | A3 | 19960305 | | |

OS MARPAT 123:256742
GI




I

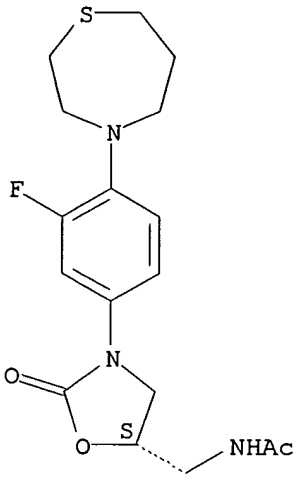
AB The title compds. [I; R = H, (un)substituted C1-8 alkyl, C3-6 cycloalkyl, (un)substituted NH2, C1-8 alkoxy; R1 = H except when X is O, then R1 = H, CH3, CN, CO2H, CO2R, etc.; R2 = H, F, Cl; R3 = H except when X is O and R1 is CH3, then R3 = CH3; X = O, S, SO, SO2, etc.; n = 0-2], useful as

antibiotics against gram-pos. aerobic bacteria (e.g., multiply resistant Staphylococci, Streptococci and Enterococci), as well as anaerobic organisms (e.g., Bacteroides species and Clostridia species), and acid-fast organisms (e.g., Mycobacterium tuberculosis, Mycobacterium avium etc.), are prepd. Thus, (S)-N-[[3-[3-fluoro-4-(thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide, prepd. from 3,4-difluoronitrobenzene in 6 steps, demonstrated a ED50 for S. aureus (UC no. 9213)-injected mice of 1.25 mg/kg, when administered p.o.

IT **168828-92-0 168828-93-1 168828-94-2**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(claimed compd.; prepn. of substituted oxazine- and thiazineoxazolidinone antibiotics)

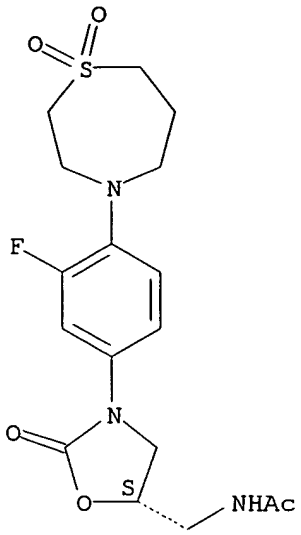
RN 168828-92-0 CAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-(tetrahydro-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



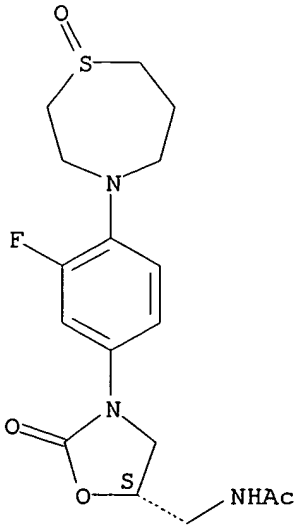

RN 168828-93-1 CAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-(tetrahydro-1,1-dioxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



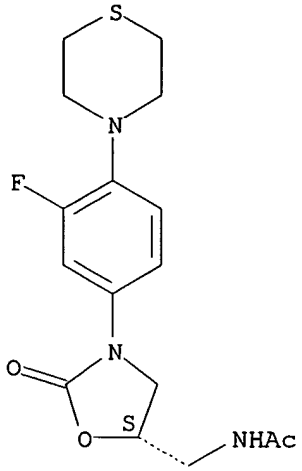
RN 168828-94-2 CAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-(tetrahydro-1-oxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, stereoisomer (9CI) (CA INDEX NAME)

Absolute stereochemistry.



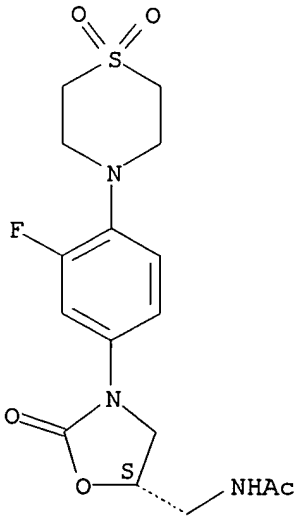
IT **168828-58-8P 168828-59-9P 168828-60-2P**
**168828-62-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted oxazine- and thiazineoxazolidinone antibiotics)
RN 168828-58-8 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



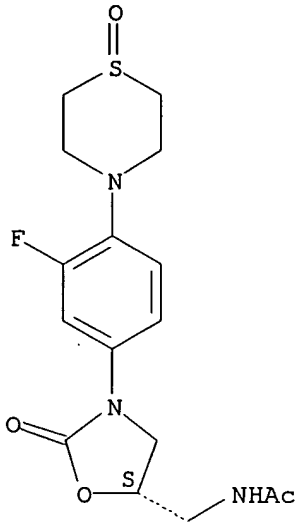

RN 168828-59-9 CAPLUS
CN Acetamide, N-[[3-[4-(1,1-dioxido-4-thiomorpholinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 168828-60-2 CAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168828-62-4 CAPLUS
CN Acetamide, N-[[3-[4-[1,1-dihydro-1-[[(4-methylphenyl)sulfonyl]imino]-4-thiomorpholinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.